Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSPTASXJ1617

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * Welcome to STN International * * * * * * * * *

NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	OCT 02	CA/CAplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS 3	OCT 19	BEILSTEIN updated with new compounds
NEWS 4	NOV 15	Derwent Indian patent publication number format enhanced
NEWS 5	NOV 19	WPIX enhanced with XML display format
NEWS 6	NOV 30	ICSD reloaded with enhancements
NEWS 7	DEC 04	LINPADOCDB now available on STN
NEWS 8	DEC 14	BEILSTEIN pricing structure to change
NEWS 9	DEC 17	USPATOLD added to additional database clusters
NEWS 10	DEC 17	IMSDRUGCONF removed from database clusters and STN
NEWS 11	DEC 17	DGENE now includes more than 10 million sequences
NEWS 12	DEC 17	TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment
NEWS 13	DEC 17	MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS 14	DEC 17	CA/CAplus enhanced with new custom IPC display formats
NEWS 15	DEC 17	STN Viewer enhanced with full-text patent content from USPATOLD
NEWS 16	JAN 02	STN pricing information for 2008 now available
NEWS 17	JAN 16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS 18	JAN 28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS 19	JAN 28	MARPAT searching enhanced
NEWS 20	JAN 28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS 21	JAN 28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS 22	JAN 28	MEDLINE and LMEDLINE reloaded with enhancements
NEWS 23	FEB 08	STN Express, Version 8.3, now available
NEWS 24	FEB 20	PCI now available as a replacement to DPCI
NEWS 25	FEB 25	IFIREF reloaded with enhancements
NEWS 26	FEB 25	IMSPRODUCT reloaded with enhancements
NEWS 27	FEB 29	WPINDEX/WPIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification

NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 07:57:09 ON 07 MAR 2008

=>
Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 07:57:24 ON 07 MAR 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 5 MAR 2008 HIGHEST RN 1006749-26-3
DICTIONARY FILE UPDATES: 5 MAR 2008 HIGHEST RN 1006749-26-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

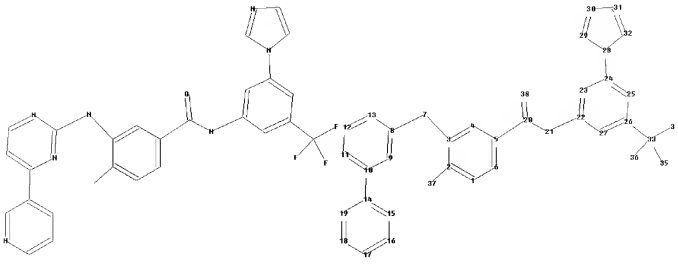
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10576175.str



```
chain nodes :
7  20  21  33  34  35  36  37  38
ring nodes :
1  2  3  4  5  6  8  9  10  11  12  13  14  15  16  17  18  19  22  23  24  25  26
27  28  29  30  31  32
chain bonds :
2-37  3-7  5-20  7-8  10-14  20-21  20-38  21-22  24-28  26-33  33-34  33-35  33-36

ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  8-9  8-13  9-10  10-11  11-12  12-13  14-15  14-19
15-16  16-17  17-18  18-19  22-23  22-27  23-24  24-25  25-26  26-27  28-29  28-32
29-30  30-31
31-32
exact/norm bonds :
3-7  7-8  20-21  20-38  21-22  24-28  28-29  28-32  29-30  30-31  31-32
exact bonds :
2-37  5-20  10-14  26-33  33-34  33-35  33-36
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  8-9  8-13  9-10  10-11  11-12  12-13  14-15  14-19
15-16  16-17  17-18  18-19  22-23  22-27  23-24  24-25  25-26  26-27


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  8:Atom  9:Atom  10:Atom
11:Atom  12:Atom  13:Atom  14:Atom  15:Atom  16:Atom  17:Atom  18:Atom  19:Atom
20:CLASS  21:CLASS
22:Atom  23:Atom  24:Atom  25:Atom  26:Atom  27:Atom  28:Atom  29:Atom  30:Atom
31:Atom  32:Atom
33:CLASS  34:CLASS  35:CLASS  36:CLASS  37:CLASS  38:CLASS



L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *
```

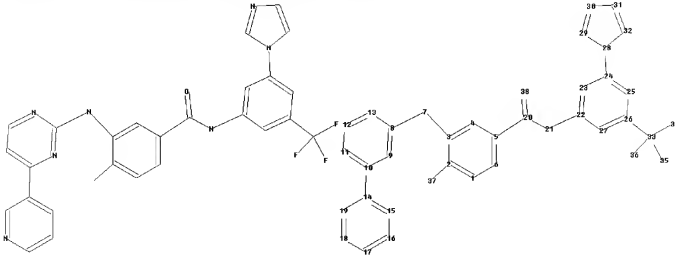
Structure attributes must be viewed using STN Express query preparation.

=> d l1
L1 HAS NO ANSWERS
L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=>
Uploading C:\Program Files\Stnexp\Queries\10576175.str



chain nodes :
7 20 21 33 34 35 36 37 38
ring nodes :
1 2 3 4 5 6 8 9 10 11 12 13 14 15 16 17 18 19 22 23 24 25 26 27 28 29 30 31 32
chain bonds :
2-37 3-7 5-20 7-8 10-14 20-21 20-38 21-22 24-28 26-33 33-34 33-35 33-36

ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13 14-15 14-19 15-16 16-17 17-18 18-19 22-23 22-27 23-24 24-25 25-26 26-27 28-29 28-32 29-30 30-31 31-32
exact/norm bonds :
3-7 7-8 20-21 20-38 21-22 24-28 28-29 28-32 29-30 30-31 31-32
exact bonds :
2-37 5-20 10-14 26-33 33-34 33-35 33-36
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13 14-15 14-19 15-16 16-17 17-18 18-19 22-23 22-27 23-24 24-25 25-26 26-27

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:CLASS 21:CLASS
22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom

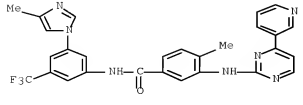
```
31:Atom  32:Atom
33:CLASS  34:CLASS  35:CLASS  36:CLASS  37:CLASS  38:CLASS



L2      STRUCTURE UPLOADED

=> d 12
L2 HAS NO ANSWERS
L2              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s 12
SAMPLE SEARCH INITIATED 07:58:30 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        0 TO ITERATE

100.0% PROCESSED        0 ITERATIONS                                 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          0 TO         0
PROJECTED ANSWERS:             0 TO         0

L3            0 SEA SSS SAM L2

=> s 12 full
FULL SEARCH INITIATED 07:58:36 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -       57 TO ITERATE

100.0% PROCESSED       57 ITERATIONS                                16 ANSWERS
SEARCH TIME: 00.00.01

L4           16 SEA SSS FUL L2

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   178.82     179.03

FILE 'REGISTRY' ENTERED AT 07:58:44 ON 07 MAR 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:    5 MAR 2008  HIGHEST RN 1006749-26-3
DICTIONARY FILE UPDATES:   5 MAR 2008  HIGHEST RN 1006749-26-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.
```

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

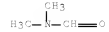
http://www.cas.org/support/stngen/stndoc/properties.html

```
=> s l2
SAMPLE SEARCH INITIATED 07:58:50 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        0 TO ITERATE

100.0% PROCESSED        0 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            0 TO        0
PROJECTED ANSWERS:               0 TO        0

L5            0 SEA SSS SAM L2

=> s l2 full
FULL SEARCH INITIATED 07:58:54 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -       57 TO ITERATE

100.0% PROCESSED       57 ITERATIONS                               16 ANSWERS
SEARCH TIME: 00.00.01

L6           16 SEA SSS FUL L2

=> d 16 1-16

L6   ANSWER 1 OF 16  REGISTRY  COPYRIGHT 2008 ACS on STN
RN   923289-74-1  REGISTRY
ED   Entered STN:  27 Feb 2007
CN   Benzamide, 4-methyl-N-[3-(4-methyl-1H-imidazol-1-yl)-5-
     (trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-, compd.
     with N,N-dimethylformamide, hydrochloride (1:1:1)  (CA INDEX NAME)
MF   C28 H22 F3 N7 O . C3 H7 N O . Cl H
SR   CA
LC   STN Files:   CA, CAPLUS

     CM   1

     CRN  641571-10-0
     CMF  C28 H22 F3 N7 O
```



CM 2

CRN 68-12-2
CMF C3 H7 N O

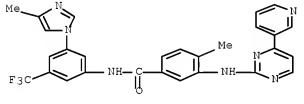


1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 2 OF 16 REGISTRY COPYRIGHT 2008 ACS on STN
RN 923289-73-0 REGISTRY
ED Entered STN: 27 Feb 2007
CN Benzamide, 4-methyl-N-[3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-, compd. with methanol, hydrochloride (1:1:1) (CA INDEX NAME)
MF C28 H22 F3 N7 O . C H4 O . Cl H
SR CA
LC STN Files: CA, CAPLUS

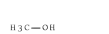
CM 1

CRN 641571-10-0
CMF C28 H22 F3 N7 O



CM 2

CRN 67-56-1
CMF C H4 O



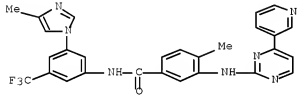
1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 3 OF 16 REGISTRY COPYRIGHT 2008 ACS on STN
RN 923289-72-9 REGISTRY
ED Entered STN: 27 Feb 2007
CN Benzamide, 4-methyl-N-[3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-, compd. with methanol, hydrochloride (2:4:1) (CA INDEX NAME)
MF C28 H22 F3 N7 O . 2 C H4 O . Cl H
SR CA
LC STN Files: CA, CAPLUS

CM 1

CRN 641571-10-0
CMF C28 H22 F3 N7 O

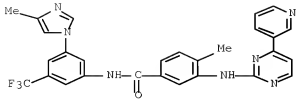


CM 2

CRN 67-56-1
CMF C H4 O

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 4 OF 16 REGISTRY COPYRIGHT 2008 ACS on STN
RN 923289-71-8 REGISTRY
ED Entered STN: 27 Feb 2007
CN Benzamide, 4-methyl-N-[3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-, hydrochloride, hydrate (1:1:2) (CA INDEX NAME)
MF C28 H22 F3 N7 O . Cl H . 2 H2 O
SR CA
LC STN Files: CA, CAPLUS
CRN (641571-10-0)



● HCl

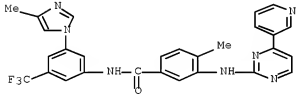
●2 H_2O

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 5 OF 16 REGISTRY COPYRIGHT 2008 ACS on STN
RN 923288-98-6 REGISTRY
ED Entered STN: 27 Feb 2007
CN Benzamide, 4-methyl-N-[3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-, phosphate (1:2) (CA INDEX NAME)
MF C28 H22 F3 N7 O . 2 H3 O4 P
SR CA
LC STN Files: CA, CAPLUS

CM 1

CRN 641571-10-0
CMF C28 H22 F3 N7 O



CM 2

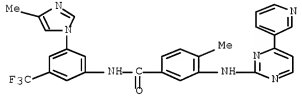
CRN 7664-38-2
CMF H3 O4 P

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 6 OF 16 REGISTRY COPYRIGHT 2008 ACS on STN
RN 923288-97-5 REGISTRY
ED Entered STN: 27 Feb 2007
CN Ethanesulfonic acid, compd. with 4-methyl-N-[3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]benzamide (1:1) (CA INDEX NAME)
MF C28 H22 F3 N7 O . C2 H6 O3 S
SR CA
LC STN Files: CA, CAPLUS

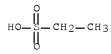
CM 1

CRN 641571-10-0
CMF C28 H22 F3 N7 O



CM 2

CRN 594-45-6
CMF C2 H6 O3 S



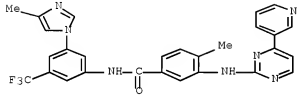
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 7 OF 16 REGISTRY COPYRIGHT 2008 ACS on STN
RN 923288-96-4 REGISTRY
ED Entered STN: 27 Feb 2007

CN Benzamide, 4-methyl-N-[3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-, sulfate (1:1) (CA INDEX NAME)
MF C28 H22 F3 N7 O . H2 O4 S
SR CA
LC STN Files: CA, CAPLUS

CM 1

CRN 641571-10-0
CMF C28 H22 F3 N7 O

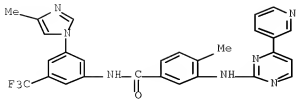


CM 2

CRN 7664-93-9
CMF H2 O4 S

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 8 OF 16 REGISTRY COPYRIGHT 2008 ACS on STN
RN 923288-95-3 REGISTRY
ED Entered STN: 27 Feb 2007
CN Benzamide, 4-methyl-N-[3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-, hydrochloride (1:1) (CA INDEX NAME)
MF C28 H22 F3 N7 O . Cl H
SR CA
LC STN Files: CA, CAPLUS
CRN (641571-10-0)



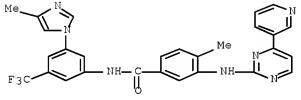
● HCl

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 9 OF 16 REGISTRY COPYRIGHT 2008 ACS on STN
RN 923288-94-2 REGISTRY
ED Entered STN: 27 Feb 2007
CN Benzamide, 4-methyl-N-[3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-, 4-methylbenzenesulfonate (1:1) (CA INDEX NAME)
MF C28 H22 F3 N7 O . C7 H8 O3 S
SR CA
LC STN Files: CA, CAPLUS

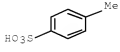
CM 1

CRN 641571-10-0
CMF C28 H22 F3 N7 O



CM 2

CRN 104-15-4
CMF C7 H8 O3 S

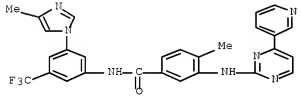


1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 10 OF 16 REGISTRY COPYRIGHT 2008 ACS on STN
RN 923288-93-1 REGISTRY
ED Entered STN: 27 Feb 2007
CN Benzamide, 4-methyl-N-[3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-, benzenesulfonate (1:1) (CA INDEX NAME)
MF C28 H22 F3 N7 O . C6 H6 O3 S
SR CA
LC STN Files: CA, CAPLUS

CM 1

CRN 641571-10-0
CMF C28 H22 F3 N7 O



CM 2

CRN 98-11-3
CMF C6 H6 O3 S

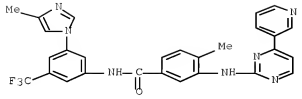
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 11 OF 16 REGISTRY COPYRIGHT 2008 ACS on STN
RN 923288-92-0 REGISTRY
ED Entered STN: 27 Feb 2007
CN Benzamide, 4-methyl-N-[3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-, methanesulfonate (1:1) (CA INDEX NAME)
MF C28 H22 F3 N7 O . C H4 O3 S
SR CA
LC STN Files: CA, CAPLUS

CM 1

CRN 641571-10-0

CMF C28 H22 F3 N7 O



CM 2

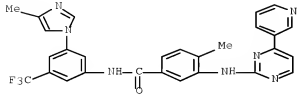
CRN 75-75-2
CMF C H4 O3 S

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 12 OF 16 REGISTRY COPYRIGHT 2008 ACS on STN
RN 923288-91-9 REGISTRY
ED Entered STN: 27 Feb 2007
CN Benzamide, 4-methyl-N-[3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-, phosphate (1:1) (CA INDEX NAME)
MF C28 H22 F3 N7 O . H3 O4 P
SR CA
LC STN Files: CA, CAPLUS

CM 1

CRN 641571-10-0
CMF C28 H22 F3 N7 O

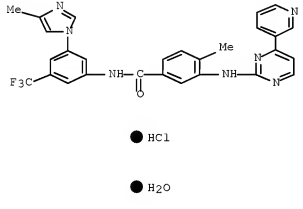


CM 2

CRN 7664-38-2
CMF H3 O4 P

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 13 OF 16 REGISTRY COPYRIGHT 2008 ACS on STN
RN 923288-90-8 REGISTRY
ED Entered STN: 27 Feb 2007
CN Benzamide, 4-methyl-N-[3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-, hydrochloride, hydrate (1:1:1) (CA INDEX NAME)
MF C28 H22 F3 N7 O . Cl H . H2 O
SR CA
LC STN Files: CA, CAPLUS
CRN (641571-10-0)



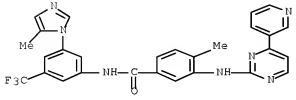
● HCl

● H_2O

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 14 OF 16 REGISTRY COPYRIGHT 2008 ACS on STN
RN 641571-15-5 REGISTRY
ED Entered STN: 25 Jan 2004
CN Benzamide, 4-methyl-N-[3-(5-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (CA INDEX NAME)
OTHER NAMES:

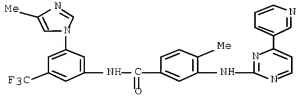
CN 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[5-(5-methyl-1H-imidazol-1-yl)-3-(trifluoromethyl)phenyl]benzamide
MF C28 H22 F3 N7 O
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

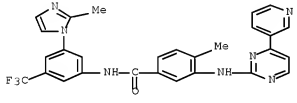
L6 ANSWER 15 OF 16 REGISTRY COPYRIGHT 2008 ACS on STN
RN 641571-10-0 REGISTRY
ED Entered STN: 25 Jan 2004
CN Benzamide, 4-methyl-N-[3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (CA INDEX NAME)
OTHER NAMES:
CN 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[5-(4-methyl-1H-imidazol-1-yl)-3-(trifluoromethyl)phenyl]benzamide
CN 4-Methyl-N-[3-(4-methylimidazol-1-yl)-5-trifluoromethylphenyl]-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]benzamide
CN AMN 107
CN Nilotinib
MF C28 H22 F3 N7 O
CI COM
SR CA
LC STN Files: ADISINSIGHT, ANABSTR, CA, CAPLUS, CASREACT, CBNB, CHEMCATS, EMBASE, IMSDRUGNEWS, IMSPATENTS, IMSPRODUCT, IMSRESEARCH, IPA, PROUSDDR, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

180 REFERENCES IN FILE CA (1907 TO DATE)
5 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
184 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 16 OF 16 REGISTRY COPYRIGHT 2008 ACS on STN
RN 641571-05-3 REGISTRY
ED Entered STN: 25 Jan 2004
CN Benzamide, 4-methyl-N-[3-(2-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]- (CA INDEX NAME)
OTHER NAMES:
CN 4-Methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]-N-[5-(2-methyl-1H-imidazol-1-yl)-3-(trifluoromethyl)phenyl]benzamide
MF C28 H22 F3 N7 O
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> file hcaplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	211.74	390.77

FILE 'HCAPLUS' ENTERED AT 08:01:21 ON 07 MAR 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 7 Mar 2008 VOL 148 ISS 11
FILE LAST UPDATED: 6 Mar 2008 (20080306/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l6
L7 184 L6

=> s l7 and alzheimers
732 ALZHEIMERS
L8 0 L7 AND ALZHEIMERS

=> s l7 and alzheimer
49475 ALZHEIMER
L9 15 L7 AND ALZHEIMER

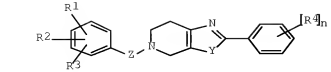
=> d l9 1-15 abs ibib

L9 ANSWER 1 OF 15 HCAPLUS COPYRIGHT 2008 ACS on STN
AB The present invention relates to compds. and methods useful as modulators of Peroxisome Proliferator-Activated Receptors (PPARs) for treatment or prevention of disease.

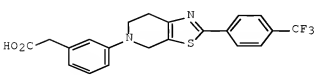
ACCESSION NUMBER: 2007:907204 HCAPLUS Full-text
DOCUMENT NUMBER: 147:269260
TITLE: Heterocyclic modulators of PPAR
INVENTOR(S): Bennett, Dennis A.; Severance, Daniel L.; Semple, J. Edward
PATENT ASSIGNEE(S): Kalypsys, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 74pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2007191371	A1	20070816	US 2007-675067		20070214
PRIORITY APPLN. INFO.:			US 2006-773289P	P	20060214
OTHER SOURCE(S):		MARPAT 147:269260			

L9 ANSWER 2 OF 15 HCAPLUS COPYRIGHT 2008 ACS on STN
GI



I



II

AB The title compds. I [n = 0-2; R1 = XCO2R13, OCR11R12XCO2R13 (wherein X = a bond or alkylene; R11, R12 = H, alkyl or alkoxy; or R11 and R12 together with the carbon atom to which R11 and R12 are attached form cycloalkyl; R13 = H, alkyl); R2, R3 = H, halo, alkyl, etc.; Z = a bond, S(O)0-2; Y = O, S; R4 = H, halo, alkyl, etc.], useful as PPAR modulators for treating and preventing PPAR-mediated diseases (no specific data), were prepared Thus, coupling 2-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-thiazolo[5,4- c]pyridine with Me (3-bromophenyl)acetate (prepns. given) followed by treating the resulting ester with LiOH afforded 44% II (over 2 steps). The invention relates also to pharmaceutical compns. comprising compds. I and methods of using such compds. to treat or prevent diseases or disorders associated with the activity of the Peroxisome Proliferator- Activated Receptor (PPAR) families.

ACCESSION NUMBER: 2007:874469 HCAPLUS Full-text
DOCUMENT NUMBER: 147:257759
TITLE: Preparation of substituted thiazolopyridines as PPAR modulators
INVENTOR(S): Epple, Robert; Russo, Ross; Azimioara, Mihai
PATENT ASSIGNEE(S): IRM LLC, Bermuda
SOURCE: PCT Int. Appl., 40pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

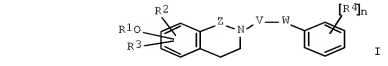
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007089667	A1	20070809	WO 2007-US2316	20070125

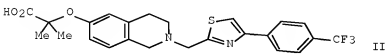
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-763539P P 20060130
OTHER SOURCE(S): MARPAT 147:257759
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

L9 ANSWER 3 OF 15 HCAPLUS COPYRIGHT 2008 ACS on STN
GI


I


II

AB The title compds. I [n = 0-2; R1 = CR11R12XCO2R13 (wherein X = a bond or alkylene; R11, R12 = H, alkyl, alkoxy; or R11 and R12 together with the carbon atom to which R11 and R12 are attached form cycloalkyl; R13 = H, alkyl); R2, R3 = H, alkyl; V = a bond, alkylene, CONR8, X1C(O)X2 (X1, X2 = a bond, alkylene; R8 = H, alkyl); W = (un)substituted thiazole, oxazole; Z = CH2, C(O); R4 = H, halo, alkyl, etc.], useful as PPAR modulators for treating and preventing PPAR-mediated diseases (no specific data given), were prepared Thus, reacting Me 2-(1,2,3,4-tetrahydroisoquinolin-6-yloxy)-2-methylpropanoate with 2-(chloromethyl)-4-(4-trifluoromethylphenyl)thiazole followed by treatment of the resulting ester with LiOH and then acidification, afforded the acid II. The invention relates also to pharmaceutical compns. comprising compds. I and methods of using such compds. to treat or prevent diseases or disorders associated with the activity of the Peroxisome Proliferator-Activated Receptor (PPAR) families.

ACCESSION NUMBER: 2007:873324 HCAPLUS Full-text
DOCUMENT NUMBER: 147:257757
TITLE: Preparation of substituted thiazolyl tetrahydroisoquinolines as PPAR modulators
INVENTOR(S): Epple, Robert; Cow, Christopher
PATENT ASSIGNEE(S): IRM LLC, Bermuda
SOURCE: PCT Int. Appl., 47pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007089557	A2	20070809	WO 2007-US2115	20070125
WO 2007089557	A3	20071108		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,

IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
PRIORITY APPLN. INFO.: US 2006-763623P P 20060130
OTHER SOURCE(S): MARPAT 147:257757

L9 ANSWER 4 OF 15 HCAPLUS COPYRIGHT 2008 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention provides compds. I and II, pharmaceutical compns. comprising such compds. and methods of using such compds. to treat or prevent diseases or disorders associated with the activity of the Peroxisome Proliferator-Activated Receptor (PPAR) families. Compds. of formula I and II wherein A is (un)substituted Ph and (un)substituted thiazol-2-yl; n and m are independently 1 - 5; each R1 is independently H, halo, C1-6 (halo)alkyl, and C1-6 (halo)alkoxy; R3 is C1-8 alkyl, C2-8 alkenyl, C1-6 haloalkyl, C2-6 haloalkenyl, etc.; R4 and R5 are independently H and C1-6 alkyl; or R4R5 taken together to form =O; Y is N and CH; Z is a bond, SO0-2, CH2, etc.; A and B are independently CH and N; R6 and R7 are independently H, halo, C1-6 (halo)alkyl and C1-6 (halo)alkoxy; R8 is CO2H and derivs., C1-4 alkylene-CO2H and derivs., etc.; R9 and R10 are independently H, C1-6 alkyl, and OH and derivs.; and their pharmaceutically acceptable salts, hydrates, solvates, isomers and prodrugs thereof, are claimed. Example compound III was prepared by N-alkylation of 3-isobutyl-1-[2-(4-methoxyphenyl)ethyl]-1,3,8-triazaspiro[4,5]decane-2,4-dione with Et 3-bromomethylphenhylacetate followed by hydrolysis. All the invention compds. were evaluated for their PPAR modulatory activity (some data given).
ACCESSION NUMBER: 2007:845231 HCAPLUS Full-text
DOCUMENT NUMBER: 147:235167
TITLE: Spiro imidazole derivatives as PPAR modulators and their preparation, pharmaceutical compositions and use in the treatment of diseases associated with PPAR activity.
INVENTOR(S): Epple, Robert; Russo, Ross; Azimioara, Mihai; Cow, Christopher; Molteni, Valentina; Li, Xiaolin; Chianelli, Donatella
PATENT ASSIGNEE(S): IRM LLC, Bermuda
SOURCE: PCT Int. Appl., 101pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007087448	A1	20070802	WO 2007-US2315	20070125
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-763557P P 20060130

OTHER SOURCE(S): MARPAT 147:235167

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 15 HCAPLUS COPYRIGHT 2008 ACS on STN

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to oxazoles and thiazoles of formula I, which modulate the activity of the peroxisome proliferator-activated receptor (PPAR) families, particularly the activity of PPARδ. In compds. I, W is O or S; R1 is -L1-X-C(R7R8)-L2-CO2R9; L1 and L2 are independently a bond or C1-4 alkylene; X is a bond, O, or S; R7 and R8 are independently H, C1-4 alkyl, or C1-4 alkoxy; R9 is H or C1-6 alkyl; p is 0-3; each R2 is independently selected from halo, C1-6 alkyl, C2-6 alkenyl, C1-4 alkoxy, C1-4 alkylthio, (un)substituted C3-12 cycloalkyl, (un)substituted C3-8 heterocyclyl, (un)substituted C6-10 aryl, and (un)substituted C5-10 heteroaryl; n is 0-3; R3 and R4 are independently H or C1-6 alkyl; R5 and R6 are independently selected from H, C1-6 alkyl, (un)substituted C3-12 cycloalkyl, (un)substituted C3-8 heterocyclyl, (un)substituted C6-10 aryl, and (un)substituted C5-13 heteroaryl; Y is O, S, NR10, or CR10R11; Z is C10R11 or S; and R10 and R11 are independently selected from H and C1-6 alkyl; including salts, hydrates, solvates, isomers, and prodrugs thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising a therapeutically effective amount of a compound I with one or more pharmaceutically acceptable excipients, optionally containing another active ingredient selected from antidiabetic agents, hypolipidemic agents, antiobesity agents, antihypertensive agents, etc., as well as to the use of the compns. for the treatment or prevention of disease or disorders associated with PPAR activity. Regioselective acetylation of Et (2-methylphenoxy)acetate followed by Baeyer-Villiger oxidation, methanolysis, and regioselective bromination gave phenoxyacetate II, which underwent O-silylation, Suzuki coupling with cyclopropylboronic acid, and desilylation resulting in the formation of cyclopropylphenoxyacetate III. Substitution of 3-chloropropanol with potassium cyanide followed by sulfolysis to the thioamide and heterocyclization with 2-bromo-1-(4-trifluoromethylphenyl)ethanone formed thiazole IV, which was coupled to III under Mitsunobu conditions and hydrolyzed to give thiazole V. The compds. of the invention, e.g., V, are modulators of PPAR, particularly PPARδ (no data).

ACCESSION NUMBER: 2007:538695 HCAPLUS Full-text

DOCUMENT NUMBER: 146:521789

TITLE: Oxazoles and thiazoles as PPAR modulators, their preparation, pharmaceutical compositions, and use in therapy

INVENTOR(S): Epple, Robert; Cow, Christopher; Azimioara, Mihai; Russo, Ross; Xie, Yongping; Wang, Xing

PATENT ASSIGNEE(S): IRM LLC, Bermuda

SOURCE: PCT Int. Appl., 139pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

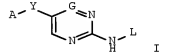
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007056366	A2	20070518	WO 2006-US43342	20061107
WO 2007056366	A3	20070705		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

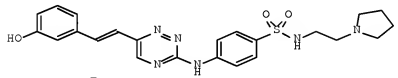
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2005-734683P P 20051107
OTHER SOURCE(S): MARPAT 146:521789

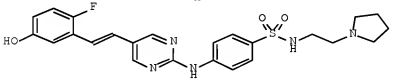
L9 ANSWER 6 OF 15 HCAPLUS COPYRIGHT 2008 ACS on STN
GI



I



II



III

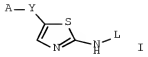
AB The invention is related to the preparation of heteroaroms. I [L = C6H4-[X-M-[CH(R1)]p(CH2)q[CH(R2)]nG0R3R4]; X = O, CO, SO2, CH2; M = a bond, NH and derivs.; or X-M = a bond; R1, R2 = independently at each occurrence H, CF3, F, Cl, OH, NH2, (un)substituted aryl, alkyl, etc.; or R1-R2 = a bond, (CH2)a, (CH2)m-S-(CH2)a, (CH2)m-NR9-(CH2)a, etc.; m, n, p, q, a = independently 0-6; R9 = H, (un)substituted alk(en/yn)yl, etc.; G0 = N, O, H, CH; if G0 = N, then each R3, R4 = independently H, CF3, F, Cl, Br, I, OH, OMe, CN, OCF3, NH2, (un)substituted hydroxy/amino/alkyl, (hetero)aryl, or R3-R4 = (CH2)a, (CH2)m-S-(CH2)a, (CH2)a, (CH2)m-O-(CH2)a, etc.; if G0 = N; then R1-R9, or R1-R4, or R9-R4 or R3-R4 = independently (CH2)a, (CH2)m-S-(CH2)a, (CH2)m-O-(CH2)a, etc.; if G0 = O, R3 = H, CF3, F, Br, NH2, alkyl, aryl, etc., with no group R4; R1-R9 or R1-R3 or R9-R3 = independently (CH2)a, (CH2)m-S-(CH2)a, (CH2)a, (CH2)m-O-(CH2)a, etc.; if G0 = CH, R3, R4 = independently H, CF3, CN, (un)substituted

amino/hydroxy/alkyl, etc.; or R3-R4 = (CHR9)m-(CHR9)a-(CHR9)p; (CHR9)m-S-(CHR9)a, (CHR9)m-O-(CHR9)a, etc.; A = (hetero)aryl; G = N, CH, CR; R = (un)substituted alkyl; Y = CH:CH, CH2CH2] as inhibitors targeting resistant kinase mutations. Thus, bromination of 3-amino-1,2,4-triazine, Pd-coupling of the bromide with [trans-2-(3-methoxyphenyl)ethenyl]boronic acid, amination of 4-bromo-N-[2-(pyrrolidin-1-yl)ethyl]benzenesulfonamide and demethylation gave triazine II. In a luminescent assay, pyrimidine III inhibited Abl and Abl(T3151) kinases with IC50 values of 25 nM and 240 nM. I are useful for treating various angiogenic and hematol. associated disorders, such as myeloproliferative disorder in patients who do not respond to kinase-inhibition therapy that comprises administering approved medications (no data).

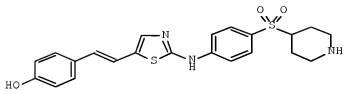
ACCESSION NUMBER: 2007:538389 HCAPLUS Full-text
DOCUMENT NUMBER: 146:521831
TITLE: Preparation of six membered heteroaromatic, particularly pyrimidine and triazine, inhibitors targeting resistant kinase mutations for treating angiogenic and hematological associated disorders
INVENTOR(S): Cao, Jianguo; Hood, John; Lohse, Dan; Mak, Chi Ching; Mc Pherson, Andrew; Noronha, Glenn; Pathak, Ved; Renick, Joel; Soll, Richard M.; Zeng, Binqi; Chow, Chun; Palanki, Moorthy; Dneprovskaia, Elena
PATENT ASSIGNEE(S): Targegen, Inc., USA
SOURCE: PCT Int. Appl., 389pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007056075	A2	20070518	WO 2006-US42838	20061031
WO 2007056075	A3	20070920		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
US 2007149508	A1	20070628	US 2006-591076	20061031
US 2007161645	A1	20070712	US 2006-591252	20061031
PRIORITY APPLN. INFO.:			US 2005-733115P P	20051102
OTHER SOURCE(S):	MARPAT 146:521831			

L9 ANSWER 7 OF 15 HCAPLUS COPYRIGHT 2008 ACS on STN
GI



I



II

AB A compound is provided, having the general structure I. Compds. of formula I wherein L is substituted (hetero)aryl; A is (un)substituted (hetero)aryl; Y is CH2CH2 and CH=CH; are claimed. The compound I can be used for treatment of various angiogenic-associated or hematol. disorders, such as myeloproliferative disorders in patients who do not respond to kinase-inhibition therapy that comprises administering currently used medications. Example compound II was prepared by coupling of 5-((E)-4-methoxystyryl)thiazol-2-amine with tert-Bu 4-(4-bromophenylsulfonyl)piperidine-1-carboxylate. All the invention compds. were evaluated for their kinase activity (data given).

ACCESSION NUMBER: 2007:538388 HCAPLUS Full-text
DOCUMENT NUMBER: 146:521787
TITLE: Thiazoles as inhibitors targeting resistant and kinase mutations and their preparation and use in the treatment of angiogenic-associated or hematological disorders
INVENTOR(S): Cao, Jianguo; Hood, John; Lohse, Dan; Mak, Chi Ching; Mc Pherson, Andrew; Noronha, Glenn; Pathak, Ved; Renick, Joel; Soll, Richard M.; Zeng, Binqi; Chow, Chun; Palanki, Moorthy; Dneprovskaia, Elena
PATENT ASSIGNEE(S): Targegen, Inc., USA
SOURCE: PCT Int. Appl., 93pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007056023	A2	20070518	WO 2006-US42697	20061031
WO 2007056023	A3	20071018		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,

KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

US 2007149508	A1	20070628	US 2006-591076		20061031
US 2007161645	A1	20070712	US 2006-591252		20061031
PRIORITY APPLN. INFO.:			US 2005-733115P	P	20051102

OTHER SOURCE(S): MARPAT 146:521787

L9 ANSWER 8 OF 15 HCAPLUS COPYRIGHT 2008 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to oxazoles and thiazoles of formula I, which modulate the activity of the peroxisome proliferator-activated receptor (PPAR) families, particularly the activity of PPARδ. In compds. I, W is O or S; R1 is -L1-X-C(R8R9)-L2-CO2R10; L1 and L2 are independently a bond or C1-4 alkylene; X is a bond, O, or S; R8 and R9 are independently H, C1-4 alkyl, or C1-4 alkoxy; R10 is H or C1-6 alkyl; p is 0-3; each R2 is independently selected from halo, C1-6 alkyl, C2-6 alkenyl, C1-4 alkoxy, C1-4 alkylthio, (un)substituted C3-12 cycloalkyl, (un)substituted C3-8 heterocyclyl, (un)substituted C6-10 aryl, and (un)substituted C5-10 heteroaryl; n is 0-3; R3 and R4 are independently H or C1-6 alkyl; R5 and R6 are independently selected from H, C1-6 alkyl, (un)substituted C3-12 cycloalkyl, (un)substituted C3-8 heterocyclyl, (un)substituted C6-10 aryl, and (un)substituted C5-13 heteroaryl; R7 is H, C1-6 alkyl, -L3-C6-12 aryl, -L3-C3-12 cycloalkyl, -L3-OR11, or -L3-N(R11R12); L3 is a bond or C1-4 alkylene; and R11 and R12 are independently H or C1-6 alkyl; including salts, hydrates, solvates, isomers, and prodrugs thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising a therapeutically effective amount of a compound I with one or more pharmaceutically acceptable excipients, optionally containing another active ingredient selected from anti-diabetic agents, hypolipidemic agents, anti-obesity agents, anti-hypertensive agents, etc., as well as to the use of the compns. for the treatment or prevention of disease or disorders associated with PPAR activity. Regioselective bromination of 4-benzyloxyphenol followed by O-silylation, substitution with tetramethyltin, and desilylation gave 4-benzyloxy-2-methylphenol, which underwent substitution of Me 2-bromo-2-methylpropionate, debenzylation, and substitution of 1,2-dibromoethane resulting in the formation of ester II. Heterocyclization of 2-bromo-1-(4-trifluoromethylphenyl)ethanone with thiourea formed aminothiazole III, which underwent substitution of bromide II, N-methylation, and ester hydrolysis to give thiazole IV. The compds. of the invention, e.g., IV, are modulators of PPAR, particularly PPARδ (no data).

ACCESSION NUMBER:	2007:538194 HCAPLUS Full-text
DOCUMENT NUMBER:	146:521786
TITLE:	Oxazoles and thiazoles as PPAR modulators, their preparation, pharmaceutical compositions, and use in therapy
INVENTOR(S):	Epple, Robert; Cow, Christopher; Azimioara, Mihai; Russo, Ross
PATENT ASSIGNEE(S):	IRM LLC, Bermuda
SOURCE:	PCT Int. Appl., 62pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2007056496	A1	20070518	WO 2006-US43586		20061107

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2005-734678P P 20051107
OTHER SOURCE(S): MARPAT 146:521786
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 9 OF 15 HCAPLUS COPYRIGHT 2008 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to oxazoles and thiazoles of formula I, which modulate the activity of the peroxisome proliferator-activated receptor (PPAR) families, particularly the activity of PPARδ. In compds. I, W is N or CH; Y is O, S, CH2CH2, or CR5R6, where R5 and R6 are independently selected from H and C1-6 alkyl; Z is S or O; R1 is -L1-X-C(R7R8)-L2-CO2R9; L1 and L2 are independently a bond or C1-4 alkylene; X is a bond, O, or S; R7 and R8 are independently H, C1-4 alkyl, or C1-4 alkoxy, or R7 and R8, together with the carbon atom to which they are attached, form C3-12 cycloalkyl; R9 is H or C1-6 alkyl; n is 0-3; each R2 is independently selected from halo, C1-4 alkyl, C1-4 alkoxy, C1-4 alkylthio, and C3-12 cycloalkyl; R3 is C1-8 alkyl; and R4 is selected from halo, C1-4 alkyl, C1-4 haloalkyl, and C1-4 haloalkoxy; including salts, hydrates, solvates, isomers, and prodrugs thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising a therapeutically effective amount of a compound I with one or more pharmaceutically acceptable excipients, optionally containing another active ingredient selected from anti-diabetic agents, hypolipidemic agents, anti-obesity agents, anti-hypertensive agents, etc., as well as to the use of the compns. for the treatment or prevention of disease or disorders associated with PPAR activity. Diazotization of 4- (trifluoromethoxy)acetophenone followed by heterocyclization with acetonitrile, and sequential double bromination gave dibromooxazole II. O-Benzylation of 4-hydroxybenzaldehyde, condensation with Et ethoxyacetate, and hydrogenation resulted in the formation of ethoxypropionate III, which underwent substitution of II followed by Suzuki coupling with 2-isopropoxy-5-pyrimidineboronic acid (two-step preparation from 5-bromo-2-chloropyrimidine is given) and ester hydrolysis to give oxazole IV. The compds. of the invention, e.g., IV, are modulators of PPAR, particularly PPARδ (no data).

ACCESSION NUMBER: 2007:536876 HCAPLUS Full-text
DOCUMENT NUMBER: 146:521785
TITLE: Oxazoles and thiazoles as PPAR modulators, their preparation, pharmaceutical compositions, and use in therapy
INVENTOR(S): Epple, Robert; Xie, Yongping; Wang, Xing; Russo, Ross;

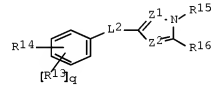
Cow, Christopher; Azimioara, Mihai
PATENT ASSIGNEE(S): IRM LLC, Bermuda
SOURCE: PCT Int. Appl., 80pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007056497	A1	20070518	WO 2006-US43587	20061107

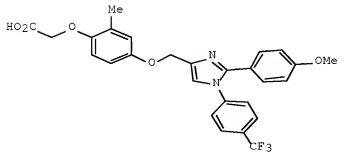
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2005-734592P P 20051107
OTHER SOURCE(S): MARPAT 146:521785
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 10 OF 15 HCAPLUS COPYRIGHT 2008 ACS on STN
GI



I



II

AB The title compds. I [q = 0-3; Z1, Z2 = CH, N; L2 = XOX, XSO0-2X, XSO0-2XO (wherein X = a bond, (un)substituted alkylene); R13 = halo, alkyl, alkoxy, etc.; R14 = XOXC(O)OR17, XC(O)OR17 (X = a bond, alkylene; R17 = H, alkyl);

R15, R16 = R18 or YR18 (Y = alkylene, alkenylene, alkynylene, CONR17, OX; X = a bond, alkylene; R17 = H, alkyl; R18 = cycloalkyl, heterocycloalkyl, aryl, heteroaryl); or R15 and R16 together with the atoms to which R15 and R16 are attached form fused bicyclic or tricyclic heteroaryl], useful in treating or preventing diseases or disorders associated with the activity of the peroxisome proliferator-activated receptor (PPAR) families, particularly the activity of PPARδ (no specific data given), were prepared Thus, reacting Me (4-hydroxy-2-methylphenoxy)acetate with 4-(chloromethyl)-2-(4-methoxyphenyl)-1-(4-trifluoromethylphenyl)-1H- imidazole (prepns. given) followed by hydrolysis afforded 28% II. Also disclosed are pharmaceutical compns. comprising compds. I alone or in combination with other therapeutic agents.

ACCESSION NUMBER: 2006:795736 HCAPLUS Full-text
DOCUMENT NUMBER: 145:230633
TITLE: Preparation of 4-[(benzimidazolyl/pyrazolyl/triazolyl) methoxy]phenoxyacetic acids as PPAR modulators
INVENTOR(S): Cow, Christopher; Epple, Robert; Wang, Xing; Xie, Yongping
PATENT ASSIGNEE(S): Irm LLC, Bermuda
SOURCE: PCT Int. Appl., 62pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006084176	A2	20060810	WO 2006-US3924	20060203
WO 2006084176	A3	20060914		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006210503	A1	20060810	AU 2006-210503	20060203
CA 2595789	A1	20060810	CA 2006-2595789	20060203
EP 1843763	A2	20071017	EP 2006-734339	20060203
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
IN 2007DN05903	A	20070817	IN 2007-DN5903	20070727
KR 2007107097	A	20071106	KR 2007-719990	20070831

PRIORITY APPLN. INFO.: US 2005-649962P P 20050203
WO 2006-US3924 W 20060203
OTHER SOURCE(S): MARPAT 145:230633

L9 ANSWER 11 OF 15 HCAPLUS COPYRIGHT 2008 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to thiazole compds. of formula I, which are modulators of peroxisome proliferator-activated receptors (PPAR), particularly PPARδ. In compds. I, p is 0-3; L is selected from -XOX-, -XS(O)mX-, and -XS(O)mXO-, where m is 0-2 and X is a bond or (un)substituted C1-4 alkylene; R1 is selected from halo, C1-6 alkyl, C1-6 alkoxy, C1-6 hydroxyalkyl, C1-6 haloalkyl, C1-6 haloalkoxy, (un)substituted C6-10 aryl, (un)substituted C5-10 heteroaryl, (un)substituted C3-12 cycloalkyl, and (un)substituted C3-8 heterocyclyl; R2 is -XOXCO2R5 or -XCO2R5, where X is as defined previously and R5 is H or C1-6 alkyl; and R3 and R4 are independently selected from R6 and R6Y, where R6 is (un)substituted C3-12 cycloalkyl, (un)substituted C3-8 heterocyclyl, (un)substituted C6-10 aryl, and (un)substituted C5-13 heteroaryl, and Y is selected from C1-6 alkylene, C2-6 alkenylene, C2-6 alkynylene, -C(O)N(R5)-, and -OX-, where X and R5 are as defined previously, or R3 and R4, together with the atoms to which they are attached, form fused bi- or tricyclic C5-14 heteroaryl; including pharmaceutically acceptable salts, hydrates, solvates, isomers, and prodrugs thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising a therapeutically effective amount of compound I in combination with one or more pharmaceutically acceptable excipients, as well as to the use of the compns. to treat or prevent diseases or disorders associated with PPAR activity. Cyclocondensation of 2-bromo-4'-methoxyacetophenone with thioacetamide followed by bromination, demethylation, and alkylation with iso-Pr iodide gave bromothiazole II, which was brominated and substituted with phenol III (preparation in 3 steps from 4-hydroxy-3-methylacetophenone given) to give thiazole IV. Compound IV underwent Suzuki coupling with 4-(trifluoromethoxy)phenylboronic acid and ester hydrolysis to give thiazole V. Most preferred compds. of the invention express an EC50 value for PPARδ of less than 100 nM. The compds. of the invention are at least 100-fold selective for PPARδ over PPARγ.

ACCESSION NUMBER: 2005:1290025 HCAPLUS Full-text
DOCUMENT NUMBER: 144:36329
TITLE: Thiazole compounds as PPAR modulators, their preparation, pharmaceutical compositions, and use in therapy
INVENTOR(S): Epple, Robert; Cow, Christopher; Xie, Yongping; Wang, Xing; Russo, Ross; Azimioara, Mihai; Saez, Enrique
PATENT ASSIGNEE(S): IRM LLC, Bermuda
SOURCE: PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005116000	A1	20051208	WO 2005-US18167	20050524
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

AU 2005247931	A1	20051208	AU 2005-247931		20050524
CA 2563818	A1	20051208	CA 2005-2563818		20050524
EP 1748993	A1	20070207	EP 2005-754130		20050524
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR					
CN 1980906	A	20070613	CN 2005-80016538		20050524
BR 2005011477	A	20071226	BR 2005-11477		20050524
JP 2008500355	T	20080110	JP 2007-515255		20050524
US 2007203155	A1	20070830	US 2006-597282		20061121
MX 2006PA13591	A	20070315	MX 2006-PA13591		20061123
KR 2007030791	A	20070316	KR 2006-724606		20061123
IN 2006CN04307	A	20070615	IN 2006-CN4307		20061123
NO 2006005984	A	20070205	NO 2006-5984		20061222
PRIORITY APPLN. INFO.:			US 2004-574137P	P	20040524
			US 2005-648985P	P	20050131
			WO 2005-US18167	W	20050524

OTHER SOURCE(S): MARPAT 144:36329
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 12 OF 15 HCAPLUS COPYRIGHT 2008 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to oxazole compds. of formula I, which are modulators of peroxisome proliferator-activated receptors (PPAR), particularly PPARδ. In compds. I, p is 0-3; L is selected from -XOX-, -XS(O)mX-, and -XS(O)mXO-, where m is 0-2 and X is a bond or (un)substituted C1-4 alkylene; R1 is selected from halo, C1-6 alkyl, C1-6 alkoxy, C1-6 hydroxyalkyl, C1-6 haloalkyl, C1-6 haloalkoxy, (un)substituted C6-10 aryl, (un)substituted C5-10 heteroaryl, (un)substituted C3-12 cycloalkyl, and (un)substituted C3-8 heterocyclyl; R2 is -XOXCO2R5 or -XCO2R5, where X is as defined previously and R5 is H or C1-6 alkyl; and R3 and R4 are independently selected from R6 and R6Y, where R6 is (un)substituted C3-12 cycloalkyl, (un)substituted C3-8 heterocyclyl, (un)substituted C6-10 aryl, and (un)substituted C5-13 heteroaryl, and Y is selected from C1-6 alkylene, C2-6 alkenylene, C2-6 alkynylene, -C(O)N(R5)-, and -OX-, where X and R5 are as defined previously, or R3 and R4, together with the atoms to which they are attached, form fused bi- or tricyclic C5-14 heteroaryl; including pharmaceutically acceptable salts, hydrates, solvates, isomers, and prodrugs thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising a therapeutically effective amount of compound I in combination with one or more pharmaceutically acceptable excipients, as well as to the use of the compns. to treat or prevent diseases or disorders associated with PPAR activity. Diazotization of 4-(trifluoromethoxy)acetophenone followed by heterocyclization with acetonitrile, and bromination gave bromooxazole II, which was brominated and substituted with phenol III (preparation in 3 steps from 4-hydroxy-3-methylacetophenone given) to give oxazole IV. Compound IV underwent Suzuki coupling with 2-isopropoxypyridin-5-ylboronic acid (preparation from 2-chloro-5-bromopyridine given) and ester hydrolysis to give oxazole V. Most preferred compds. of the invention express an EC50 value for PPARδ of less than 100 nM. The compds. of the invention are at least 100-fold selective for PPARδ over PPARγ.

ACCESSION NUMBER: 2005:1289979 HCAPLUS Full-text
DOCUMENT NUMBER: 144:36326

TITLE: Oxazole compounds as PPAR modulators, their preparation, pharmaceutical compositions, and use in therapy

INVENTOR(S): Epple, Robert; Xie, Yongping; Wang, Xing; Cow, Christopher; Russo, Ross

PATENT ASSIGNEE(S): IRM LLC, Bermuda

SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005116016	A1	20051208	WO 2005-US18166	20050524
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005247930	A1	20051208	AU 2005-247930	20050524
CA 2563819	A1	20051208	CA 2005-2563819	20050524
EP 1749003	A1	20070207	EP 2005-775612	20050524
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1980919	A	20070613	CN 2005-80016511	20050524
BR 2005011527	A	20080102	BR 2005-11527	20050524
JP 2008500354	T	20080110	JP 2007-515254	20050524
MX 2006PA13589	A	20070315	MX 2006-PA13589	20061123
KR 2007043705	A	20070425	KR 2006-724605	20061123
IN 2006CN04308	A	20070615	IN 2006-CN4308	20061123
NO 2006005983	A	20070205	NO 2006-5983	20061222
US 2007244130	A1	20071018	US 2007-597260	20070705

PRIORITY APPLN. INFO.:

US 2004-574137P	P	20040524
US 2005-649671P	P	20050202
WO 2005-US18166	W	20050524

OTHER SOURCE(S): MARPAT 144:36326

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 13 OF 15 HCAPLUS COPYRIGHT 2008 ACS on STN

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to aryl compds. of formula I, which are modulators of peroxisome proliferator-activated receptors (PPAR), particularly PPARδ. In compds. I, m is 0-3; X, Y, and Z are independently selected from CH and N; L is (un)substituted (CH2)nO(CH2)n or (CH2)nS(O)p(CH2)n, where each n is

independently selected from 0-4 and p is 0-2; R1 and R2 are independently selected from (un)substituted C3-12 cycloalkyl-A-, (un)substituted C3-8 heterocyclyl-A-, (un)substituted C6-10 aryl-A-, and (un)substituted C5-13 heteroaryl-A-, where A is a bond, C1-6 alkylene, C2-6 alkenylene, or C2-6 alkynylene; R3 is selected from halo, C1-6 alkyl, C1-6 alkoxy, C1-6 hydroxyalkyl, C1-6 haloalkyl, C1-6 haloalkoxy, (un)substituted C6-10 aryl, (un)substituted C5-10 heteroaryl, (un)substituted C3-12 cycloalkyl, and (un)substituted C3-8 heterocyclyl; and R4 is selected from (CH2)nO(CH2)nCO2R5 and (CH2)nCO2R5, where n is as defined previously and R5 is H or C1-6 alkyl; including pharmaceutically acceptable salts, hydrates, solvates, isomers, and prodrugs thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising a therapeutically effective amount of compound I in combination with one or more pharmaceutically acceptable excipients, as well as to the use of the compns. to treat or prevent diseases or disorders associated with PPAR activity. Substitution of Me bromoacetate with 4-hydroxy-3- methylacetophenone followed by Baeyer-Villiger oxidation and methanolysis gave phenoxyacetate II, which underwent substitution of 3,5-dibromobenzyl bromide to give dibromobenzyl ether III. Treatment of III with an excess of 4-trifluoromethylphenylboronic acid and ester hydrolysis resulted in the formation of terphenyl IV. Most preferred compds. of the invention express an EC50 value for PPARδ of less than 100 nM. The compds. of the invention are at least 100-fold selective for PPARδ over PPARγ.

ACCESSION NUMBER: 2005:1262399 HCAPLUS Full-text
DOCUMENT NUMBER: 144:22712
TITLE: Triaryl compounds as PPAR modulators, their preparation, pharmaceutical compositions, and use in therapy
INVENTOR(S): Epple, Robert; Azimioara, Mihai
PATENT ASSIGNEE(S): Irm LLC, Bermuda
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005113506	A1	20051201	WO 2005-US16747	20050513
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005245418	A1	20051201	AU 2005-245418	20050513
CA 2564365	A1	20051201	CA 2005-2564365	20050513
EP 1756062	A1	20070228	EP 2005-751010	20050513
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1980894	A	20070613	CN 2005-80019645	20050513
BR 2005010024	A	20070925	BR 2005-10024	20050513
JP 2007537289	T	20071220	JP 2007-513391	20050513
MX 2006PA13195	A	20070214	MX 2006-PA13195	20061113

IN 2006CN04198	A	20070615	IN 2006-CN4198		20061114
US 2007259890	A1	20071108	US 2006-596598		20061114
PRIORITY APPLN. INFO.:			US 2004-571004P	P	20040514
			WO 2005-US16747	W	20050513

OTHER SOURCE(S): MARPAT 144:22712
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 14 OF 15 HCAPLUS COPYRIGHT 2008 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to isoxazole compds. of formula I, which are modulators of peroxisome proliferator-activated receptors (PPAR), particularly PPARδ. In compds. I, R1 is selected from (un)substituted C1-6 alkyl, (un)substituted C3-12 cycloalkyl, (un)substituted C3-8 heterocyclyl, (un)substituted C6-10 aryl, and (un)substituted C5-10 heteroaryl; R2 is selected from (CH2)nO(CH2)nOR5, (CH2)nOR5, CO2R5, C(O)N(R4)2, C(O)N(R4)(CH2)nOR4, CO2(CH2)nOR5, C(O)(CH2)nOR5, C(O)N(R4)(CH2)nOR5, C(O)N(R4)(R5), and C(O)N(R4)(CH2)nR5, where n is 0-4, R4 is H or C1-6 alkyl, and R5 is C1-6 alkyl, C3-12 cycloalkyl, C3-8 heterocyclyl, C6-10 aryl, or C5-10 heteroaryl, or R4 and R5, together with the nitrogen atom to which they are attached, form C3-8 heterocyclyl or C5-10 heteroaryl; and R3 is selected from (un)substituted C3-12 cycloalkyl, (un)substituted C3-8 heterocyclyl, (un)substituted C6-10 aryl, and (un)substituted C5-10 heteroaryl; including pharmaceutically acceptable salts, hydrates, solvates, isomers, and prodrugs thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising a therapeutically effective amount of compound I in combination with one or more pharmaceutically acceptable excipients, as well as to the use of the compns. to treat or prevent diseases or disorders associated with PPAR activity. Esterification of 3-bromophenylacetic acid followed by coupling with cyanide, reduction of the nitrile to an aldehyde, condensation with hydroxylamine, and chlorination gave chlorooxime II. N-Boc-2-bromoethylamine was substituted with 2,4-dichlorophenol followed by deprotection, amidation with Et benzoylacetate to give benzoylacetamide III, which underwent cyclocondensation with chlorooxime II and ester hydrolysis, resulting in the formation of isoxazole IV. Most preferred compds. of the invention express an EC50 value for PPARδ of less than 100 nM. The compds. of the invention are at least 100-fold selective for PPARδ over PPARγ.

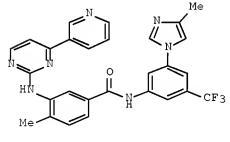
ACCESSION NUMBER: 2005:1259663 HCAPLUS Full-text
DOCUMENT NUMBER: 144:22911
TITLE: Isoxazole compounds as PPAR modulators, their preparation, pharmaceutical compositions, and use in therapy
INVENTOR(S): Epple, Robert; Russo, Ross; Azimioara, Mihai; Xie, Yongping
PATENT ASSIGNEE(S): IRM LLC, Bermuda
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2005113519	A1	20051201	WO 2005-US16672	20050512
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005245411	A1	20051201	AU 2005-245411	20050512
CA 2564429	A1	20051201	CA 2005-2564429	20050512
EP 1745027	A1	20070124	EP 2005-769154	20050512
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1984894	A	20070620	CN 2005-80019652	20050512
JP 2007537286	T	20071220	JP 2007-513366	20050512
BR 2005011099	A	20071226	BR 2005-11099	20050512
MX 2006PA13196	A	20070214	MX 2006-PA13196	20061113
KR 2007034993	A	20070329	KR 2006-723769	20061113
IN 2006CN04201	A	20070622	IN 2006-CN4201	20061114
PRIORITY APPLN. INFO.:			US 2004-571003P P	20040514
			WO 2005-US16672 W	20050512

OTHER SOURCE(S): MARPAT 144:22911
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 15 OF 15 HCAPLUS COPYRIGHT 2008 ACS on STN
GI



I

AB The invention relates to the use of an enzyme inhibitor of formula (I) or a N-Oxide or a pharmaceutically acceptable salt thereof [wherein R1 = H, lower alkyl, lower alkoxy-lower alkyl, acyloxy-lower alkyl, carboxy-lower alkyl, lower alkoxycarbonyl-lower alkyl, phenyl-lower alkyl; R2 = H, each (un)substituted lower alkyl, cycloalkyl, benzocycloalkyl, heterocyclyl, aryl, or a mono- or bicyclic heteroaryl; or wherein R1 and R2 together represent (un)substituted C4-6 alkylene, C4-5 benzalkylene, oxaalkylene with one oxygen and three or four carbon atoms; or azaalkylene with one nitrogen and three or

four carbon atoms wherein nitrogen is optionally substituted; R4 = H, lower alkyl, or halogen] having an activity on protein kinases VEGFR-2, Tie-2, c-Src, c-Met, FGFR-1, Flt-1, HER-2, c-Abl, c-Raf, PDGFR-beta, c-Kit, or on a combination of the above enzymes, for the treatment and/or prevention of neurol. and vascular neurol. disorders related to beta-amyloid generation and/or aggregation such as neurodegenerative diseases like Alzheimer's disease, Down's Syndrome, memory and cognitive impairment, dementia, amyloid neuropathies, brain inflammation, nerve and brain trauma, vascular amyloidosis, or cerebral hemorrhage with amyloidosis. Most preferred compound, 4-methyl-N-[3-(4-methylimidazol-1-yl)-5-trifluoromethylphenyl]-3-[[4- (pyridin-3-yl)pyrimidin-2-yl]amino]benzamide (II), exhibited the following inhibitor activities in cellfree enzyme assays on protein kinases: protein kinase 3.2, Tie-2 4.6, Src 4.6, c-Met 4.7, FGFR-1 6.7, Flt-1 7.7, HER-2 7.2 μM, c-Abl 295 nM, c-Raf-1 1.1, PDGFR-β 5.8, and c-Kit 7.8 μM. The compound I demonstrated a clear reduction of Abeta secretion in the medium of HEK/APPswe cell cultures at concns. below 10 μM, without having any effect on cellular viability.

ACCESSION NUMBER: 2005:395105 HCAPLUS Full-text
DOCUMENT NUMBER: 142:441902
TITLE: Use of pyridinyl-pyrimidinylamino-benzamide derivatives for the treatment of amyloid related disorders
INVENTOR(S): Bilbe, Graeme
PATENT ASSIGNEE(S): Novartis Ag, Switz.; Novartis Pharma GmbH
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005039586	A1	20050506	WO 2004-EP12080	20041026
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2007509106	T	20070412	JP 2006-536068	20041026
US 2007129389	A1	20070607	US 2006-576175	20060419
PRIORITY APPLN. INFO.:			GB 2003-25031 A	20031027
			WO 2004-EP12080 W	20041026

OTHER SOURCE(S): MARPAT 142:441902
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	73.24	464.01

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL

	ENTRY	SESSION
CA SUBSCRIBER PRICE	-12.00	-12.00

FILE 'REGISTRY' ENTERED AT 08:08:14 ON 07 MAR 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 5 MAR 2008 HIGHEST RN 1006749-26-3
DICTIONARY FILE UPDATES: 5 MAR 2008 HIGHEST RN 1006749-26-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

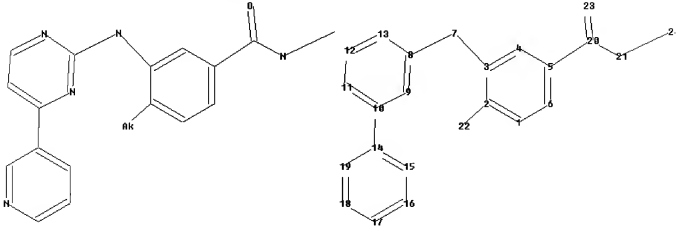
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10576175b.str



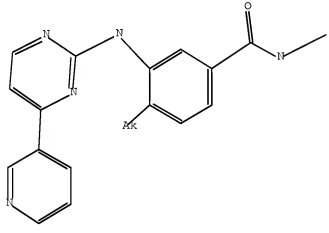
chain nodes :
7 20 21 22 23 24
ring nodes :
1 2 3 4 5 6 8 9 10 11 12 13 14 15 16 17 18 19
chain bonds :
2-22 3-7 5-20 7-8 10-14 20-21 20-23 21-24
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13 14-15 14-19
15-16 16-17 17-18 18-19
exact/norm bonds :
2-22 3-7 7-8 20-21 20-23 21-24
exact bonds :
5-20 10-14

normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13 14-15 14-19
15-16 16-17 17-18 18-19

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:CLASS 21:CLASS
22:CLASS 23:CLASS 24:CLASS

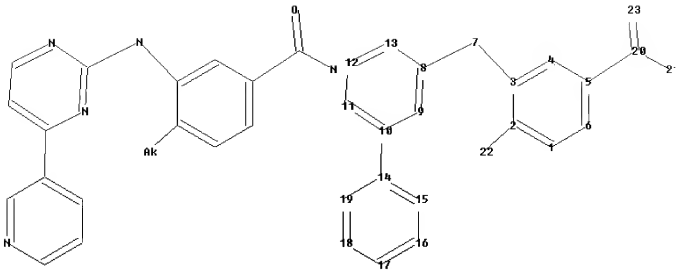
L10 STRUCTURE UPLOADED

=> d l10
L10 HAS NO ANSWERS
L10 STR



Structure attributes must be viewed using STN Express query preparation.

=>
Uploading C:\Program Files\Stnexp\Queries\10576175c.str

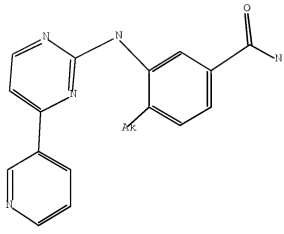


chain nodes :
7 20 21 22 23
ring nodes :
1 2 3 4 5 6 8 9 10 11 12 13 14 15 16 17 18 19
chain bonds :
2-22 3-7 5-20 7-8 10-14 20-21 20-23
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13 14-15 14-19
15-16 16-17 17-18 18-19
exact/norm bonds :
2-22 3-7 7-8 20-21 20-23
exact bonds :
5-20 10-14
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13 14-15 14-19
15-16 16-17 17-18 18-19

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:CLASS 21:CLASS
22:CLASS 23:CLASS

L11 STRUCTURE UPLOADED

=> d l11
L11 HAS NO ANSWERS
L11 STR



Structure attributes must be viewed using STN Express query preparation.

```
=> s l11 full
FULL SEARCH INITIATED 08:09:36 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      487 TO ITERATE

100.0% PROCESSED      487 ITERATIONS                              119 ANSWERS
SEARCH TIME: 00.00.01

L12         119 SEA SSS FUL L11

=> file hcaplus
COST IN U.S. DOLLARS                                  SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     179.28     643.29

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)            SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                       0.00     -12.00

FILE 'HCAPLUS' ENTERED AT 08:09:56 ON 07 MAR 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)
```



```
FILE COVERS 1907 - 7 Mar 2008  VOL 148 ISS 11
FILE LAST UPDATED: 6 Mar 2008  (20080306/ED)
```

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> s l12
L13         185 L12

=> s l13 and alzheimer
          49475 ALZHEIMER
L14          15 L13 AND ALZHEIMER

=> d l14 1-15 abs ibib
```

L14 ANSWER 1 OF 15 HCAPLUS COPYRIGHT 2008 ACS on STN

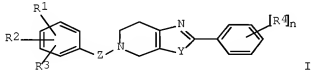
AB The present invention relates to compds. and methods useful as modulators of Peroxisome Proliferator-Activated Receptors (PPARs) for treatment or prevention of disease.

ACCESSION NUMBER:	2007:907204 HCAPLUS Full-text
DOCUMENT NUMBER:	147:269260
TITLE:	Heterocyclic modulators of PPAR
INVENTOR(S):	Bennett, Dennis A.; Severance, Daniel L.; Semple, J. Edward
PATENT ASSIGNEE(S):	Kalypsys, Inc., USA
SOURCE:	U.S. Pat. Appl. Publ., 74pp. CODEN: USXXCO
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

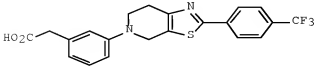
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2007191371	A1	20070816	US 2007-675067		20070214
PRIORITY APPLN. INFO.:			US 2006-773289P	P	20060214
OTHER SOURCE(S):	MARPAT 147:269260				

L14 ANSWER 2 OF 15 HCAPLUS COPYRIGHT 2008 ACS on STN

GI



I



II

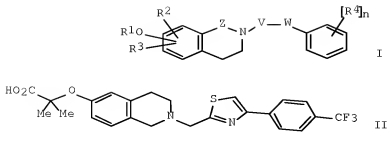
AB The title compds. I [n = 0-2; R1 = XCO2R13, OCR11R12XCO2R13 (wherein X = a bond or alkylene; R11, R12 = H, alkyl or alkoxy; or R11 and R12 together with the carbon atom to which R11 and R12 are attached form cycloalkyl; R13 = H, alkyl); R2, R3 = H, halo, alkyl, etc.; Z = a bond, S(O)0-2; Y = O, S; R4 = H, halo, alkyl, etc.], useful as PPAR modulators for treating and preventing PPAR-mediated diseases (no specific data), were prepared Thus, coupling 2-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-thiazolo[5,4- c]pyridine with Me (3-bromophenyl)acetate (prepns. given) followed by treating the resulting ester with LiOH afforded 44% II (over 2 steps). The invention relates also to pharmaceutical compns. comprising compds. I and methods of using such compds. to treat or prevent diseases or disorders associated with the activity of the Peroxisome Proliferator- Activated Receptor (PPAR) families.

ACCESSION NUMBER: 2007:874469 HCAPLUS Full-text
DOCUMENT NUMBER: 147:257759
TITLE: Preparation of substituted thiazolopyridines as PPAR modulators
INVENTOR(S): Epple, Robert; Russo, Ross; Azimioara, Mihai
PATENT ASSIGNEE(S): IRM LLC, Bermuda
SOURCE: PCT Int. Appl., 40pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007089667	A1	20070809	WO 2007-US2316	20070125
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2006-763539P P 20060130
OTHER SOURCE(S): MARPAT 147:257759
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 3 OF 15 HCAPLUS COPYRIGHT 2008 ACS on STN
GI



AB The title compds. I [n = 0-2; R1 = CR11R12XCO2R13 (wherein X = a bond or alkylene; R11, R12 = H, alkyl, alkoxy; or R11 and R12 together with the carbon atom to which R11 and R12 are attached form cycloalkyl; R13 = H, alkyl); R2, R3 = H, alkyl; V = a bond, alkylene, CONR8, X1C(O)X2 (X1, X2 = a bond, alkylene; R8 = H, alkyl); W = (un)substituted thiazole, oxazole; Z = CH2, C(O); R4 = H, halo, alkyl, etc.], useful as PPAR modulators for treating and preventing PPAR-mediated diseases (no specific data given), were prepared Thus, reacting Me 2-(1,2,3,4-tetrahydroisoquinolin-6-yloxy)-2-methylpropanoate with 2-(chloromethyl)-4-(4-trifluoromethylphenyl)thiazole followed by treatment of the resulting ester with LiOH and then acidification, afforded the acid II. The invention relates also to pharmaceutical comprns. comprising compds. I and methods of using such compds. to treat or prevent diseases or disorders associated with the activity of the Peroxisome Proliferator-Activated Receptor (PPAR) families.

ACCESSION NUMBER: 2007:873324 HCAPLUS Full-text
DOCUMENT NUMBER: 147:257757
TITLE: Preparation of substituted thiazolyl tetrahydroisoquinolines as PPAR modulators
INVENTOR(S): Epple, Robert; Cow, Christopher
PATENT ASSIGNEE(S): IRM LLC, Bermuda
SOURCE: PCT Int. Appl., 47pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007089557	A2	20070809	WO 2007-US2115	20070125
WO 2007089557	A3	20071108		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2006-763623P P 20060130
OTHER SOURCE(S): MARPAT 147:257757

L14 ANSWER 4 OF 15 HCAPLUS COPYRIGHT 2008 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention provides compds. I and II, pharmaceutical compns. comprising such compds. and methods of using such compds. to treat or prevent diseases or disorders associated with the activity of the Peroxisome Proliferator-Activated Receptor (PPAR) families. Compds. of formula I and II wherein A is (un)substituted Ph and (un)substituted thiazol-2-yl; n and m are independently 1 - 5; each R1 is independently H, halo, C1-6 (halo)alkyl, and C1-6 (halo)alkoxy; R3 is C1-8 alkyl, C2-8 alkenyl, C1-6 haloalkyl, C2-6 haloalkenyl, etc.; R4 and R5 are independently H and C1-6 alkyl; or R4R5 taken together to form =O; Y is N and CH; Z is a bond, SO0-2, CH2, etc.; A and B are independently CH and N; R6 and R7 are independently H, halo, C1-6 (halo)alkyl and C1-6 (halo)alkoxy; R8 is CO2H and derivs., C1-4 alkylene-CO2H and derivs., etc.; R9 and R10 are independently H, C1-6 alkyl, and OH and derivs.; and their pharmaceutically acceptable salts, hydrates, solvates, isomers and prodrugs thereof, are claimed. Example compound III was prepared by N-alkylation of 3-isobutyl-1-[2-(4-methoxyphenyl)ethyl]-1,3,8-triazaspiro[4,5]decane-2,4-dione with Et 3-bromomethylphenhylacetate followed by hydrolysis. All the invention compds. were evaluated for their PPAR modulatory activity (some data given).

ACCESSION NUMBER: 2007:845231 HCAPLUS Full-text
DOCUMENT NUMBER: 147:235167
TITLE: Spiro imidazole derivatives as PPAR modulators and their preparation, pharmaceutical compositions and use in the treatment of diseases associated with PPAR activity.
INVENTOR(S): Epple, Robert; Russo, Ross; Azimioara, Mihai; Cow, Christopher; Molteni, Valentina; Li, Xiaolin; Chianelli, Donatella
PATENT ASSIGNEE(S): IRM LLC, Bermuda
SOURCE: PCT Int. Appl., 101pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007087448	A1	20070802	WO 2007-US2315	20070125

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-763557P P 20060130

OTHER SOURCE(S): MARPAT 147:235167
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 5 OF 15 HCAPLUS COPYRIGHT 2008 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

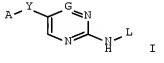
AB The invention relates to oxazoles and thiazoles of formula I, which modulate the activity of the peroxisome proliferator-activated receptor (PPAR) families, particularly the activity of PPARδ. In compds. I, W is O or S; R1 is -L1-X-C(R7R8)-L2-CO2R9; L1 and L2 are independently a bond or C1-4 alkylene; X is a bond, O, or S; R7 and R8 are independently H, C1-4 alkyl, or C1-4 alkoxy; R9 is H or C1-6 alkyl; p is 0-3; each R2 is independently selected from halo, C1-6 alkyl, C2-6 alkenyl, C1-4 alkoxy, C1-4 alkylthio, (un)substituted C3-12 cycloalkyl, (un)substituted C3-8 heterocyclyl, (un)substituted C6-10 aryl, and (un)substituted C5-10 heteroaryl; n is 0-3; R3 and R4 are independently H or C1-6 alkyl; R5 and R6 are independently selected from H, C1-6 alkyl, (un)substituted C3-12 cycloalkyl, (un)substituted C3-8 heterocyclyl, (un)substituted C6-10 aryl, and (un)substituted C5-13 heteroaryl; Y is O, S, NR10, or CR10R11; Z is C10R11 or S; and R10 and R11 are independently selected from H and C1-6 alkyl; including salts, hydrates, solvates, isomers, and prodrugs thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising a therapeutically effective amount of a compound I with one or more pharmaceutically acceptable excipients, optionally containing another active ingredient selected from antidiabetic agents, hypolipidemic agents, antiobesity agents, antihypertensive agents, etc., as well as to the use of the compns. for the treatment or prevention of disease or disorders associated with PPAR activity. Regioselective acetylation of Et (2-methylphenoxy)acetate followed by Baeyer-Villiger oxidation, methanolysis, and regioselective bromination gave phenoxyacetate II, which underwent O-silylation, Suzuki coupling with cyclopropylboronic acid, and desilylation resulting in the formation of cyclopropylphenoxyacetate III. Substitution of 3-chloropropanol with potassium cyanide followed by sulfolysis to the thioamide and heterocyclization with 2-bromo-1-(4-trifluoromethylphenyl)ethanone formed thiazole IV, which was coupled to III under Mitsunobu conditions and hydrolyzed to give thiazole V. The compds. of the invention, e.g., V, are modulators of PPAR, particularly PPARδ (no data).

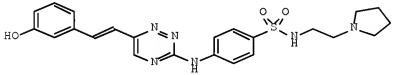
ACCESSION NUMBER: 2007:538695 HCAPLUS Full-text
DOCUMENT NUMBER: 146:521789
TITLE: Oxazoles and thiazoles as PPAR modulators, their preparation, pharmaceutical compositions, and use in therapy
INVENTOR(S): Epple, Robert; Cow, Christopher; Azimioara, Mihai; Russo, Ross; Xie, Yongping; Wang, Xing
PATENT ASSIGNEE(S): IRM LLC, Bermuda
SOURCE: PCT Int. Appl., 139pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

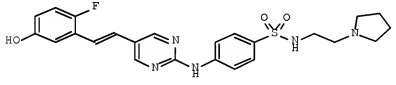
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2007056366 A2 20070518 WO 2006-US43342 20061107
WO 2007056366 A3 20070705
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
PRIORITY APPLN. INFO.: US 2005-734683P P 20051107
OTHER SOURCE(S): MARPAT 146:521789

L14 ANSWER 6 OF 15 HCAPLUS COPYRIGHT 2008 ACS on STN
GI


I


II


III

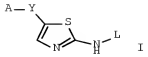
AB The invention is related to the preparation of heteroaroms. I [L = C6H4-[X-M-[CH(R1)]p(CH2)q[CH(R2)]nG0R3R4]; X = O, CO, SO2, CH2; M = a bond, NH and derivs.; or X-M = a bond; R1, R2 = independently at each occurrence H, CF3, F, Cl, OH, NH2, (un)substituted aryl, alkyl, etc.; or R1-R2 = a bond, (CH2)a, (CH2)m-S-(CH2)a, (CH2)m-NR9-(CH2)a, etc.; m, n, p, q, a = independently 0-6; R9 = H, (un)substituted alk(en/yn)yl, etc.; G0 = N, O, H, CH; if G0 = N, then each R3, R4 = independently H, CF3, F, Cl, Br, I, OH, OMe, CN, OCF3, NH2, (un)substituted hydroxy/amino/alkyl, (hetero)aryl, or R3-R4 = (CH2)a, (CH2)m-S-(CH2)a, (CH2)a, (CH2)m-O-(CH2)a, etc.; if G0 = N; then R1-R9, or R1-R4, or R9-R4 or R3-R4 = independently (CH2)a, (CH2)m-S-(CH2)a, (CH2)m-O-(CH2)a, etc.; if G0 = O, R3 = H, CF3, F, Br, NH2, alkyl, aryl, etc., with no group R4; R1-R9 or R1-R3 or R9-R3 = independently (CH2)a, (CH2)m-S-(CH2)a, (CH2)a, (CH2)m-O-(CH2)a, etc.; if G0 = CH, R3, R4 = independently H, CF3, CN, (un)substituted amino/hydroxy/alkyl, etc.; or R3-R4 = (CHR9)m-(CHR9)a-(CHR9)p; (CHR9)m-S-(CHR9)a, (CHR9)m-O-(CHR9)a, etc.; A = (hetero)aryl; G = N, CH, CR; R = (un)substituted alkyl; Y = CH:CH, CH2CH2] as inhibitors targeting resistant kinase mutations. Thus, bromination of 3-amino-1,2,4-triazine, Pd-coupling of the bromide with [trans-2-(3-methoxyphenyl)ethenyl]boronic acid, amination of 4-bromo-N-[2-(pyrrolidin-1-yl)ethyl]benzenesulfonamide and demethylation gave

triazine II. In a luminescent assay, pyrimidine III inhibited Abl and Abl(T3151) kinases with IC50 values of 25 nM and 240 nM. I are useful for treating various angiogenic and hematol. associated disorders, such as myeloproliferative disorder in patients who do not respond to kinase-inhibition therapy that comprises administering approved medications (no data).

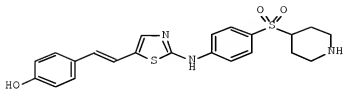
ACCESSION NUMBER: 2007:538389 HCAPLUS Full-text
DOCUMENT NUMBER: 146:521831
TITLE: Preparation of six membered heteroaromatic, particularly pyrimidine and triazine, inhibitors targeting resistant kinase mutations for treating angiogenic and hematological associated disorders
INVENTOR(S): Cao, Jianguo; Hood, John; Lohse, Dan; Mak, Chi Ching; Mc Pherson, Andrew; Noronha, Glenn; Pathak, Ved; Renick, Joel; Soll, Richard M.; Zeng, Binqi; Chow, Chun; Palanki, Moorthy; Dneprovskaia, Elena
PATENT ASSIGNEE(S): Targegen, Inc., USA
SOURCE: PCT Int. Appl., 389pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007056075	A2	20070518	WO 2006-US42838	20061031
WO 2007056075	A3	20070920		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
US 2007149508	A1	20070628	US 2006-591076	20061031
US 2007161645	A1	20070712	US 2006-591252	20061031
PRIORITY APPLN. INFO.:			US 2005-733115P P	20051102
OTHER SOURCE(S):		MARPAT 146:521831		

L14 ANSWER 7 OF 15 HCAPLUS COPYRIGHT 2008 ACS on STN
GI



I



II

AB A compound is provided, having the general structure I. Compds. of formula I wherein L is substituted (hetero)aryl; A is (un)substituted (hetero)aryl; Y is CH2CH2 and CH=CH; are claimed. The compound I can be used for treatment of various angiogenic-associated or hematol. disorders, such as myeloproliferative disorders in patients who do not respond to kinase-inhibition therapy that comprises administering currently used medications. Example compound II was prepared by coupling of 5-((E)-4-methoxystyryl)thiazol-2-amine with tert-Bu 4-(4-bromophenylsulfonyl)piperidine-1-carboxylate. All the invention compds. were evaluated for their kinase activity (data given).

ACCESSION NUMBER: 2007:538388 HCAPLUS Full-text
DOCUMENT NUMBER: 146:521787
TITLE: Thiazoles as inhibitors targeting resistant and kinase mutations and their preparation and use in the treatment of angiogenic-associated or hematological disorders
INVENTOR(S): Cao, Jianguo; Hood, John; Lohse, Dan; Mak, Chi Ching; Mc Pherson, Andrew; Noronha, Glenn; Pathak, Ved; Renick, Joel; Soll, Richard M.; Zeng, Binqi; Chow, Chun; Palanki, Moorthy; Dneprovskaia, Elena
PATENT ASSIGNEE(S): Targegen, Inc., USA
SOURCE: PCT Int. Appl., 93pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007056023	A2	20070518	WO 2006-US42697	20061031
WO 2007056023	A3	20071018		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,

KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

US 2007149508	A1	20070628	US 2006-591076		20061031
US 2007161645	A1	20070712	US 2006-591252		20061031
PRIORITY APPLN. INFO.:			US 2005-733115P	P	20051102

OTHER SOURCE(S): MARPAT 146:521787

L14 ANSWER 8 OF 15 HCAPLUS COPYRIGHT 2008 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to oxazoles and thiazoles of formula I, which modulate the activity of the peroxisome proliferator-activated receptor (PPAR) families, particularly the activity of PPARδ. In compds. I, W is O or S; R1 is -L1-X-C(R8R9)-L2-CO2R10; L1 and L2 are independently a bond or C1-4 alkylene; X is a bond, O, or S; R8 and R9 are independently H, C1-4 alkyl, or C1-4 alkoxy; R10 is H or C1-6 alkyl; p is 0-3; each R2 is independently selected from halo, C1-6 alkyl, C2-6 alkenyl, C1-4 alkoxy, C1-4 alkylthio, (un)substituted C3-12 cycloalkyl, (un)substituted C3-8 heterocyclyl, (un)substituted C6-10 aryl, and (un)substituted C5-10 heteroaryl; n is 0-3; R3 and R4 are independently H or C1-6 alkyl; R5 and R6 are independently selected from H, C1-6 alkyl, (un)substituted C3-12 cycloalkyl, (un)substituted C3-8 heterocyclyl, (un)substituted C6-10 aryl, and (un)substituted C5-13 heteroaryl; R7 is H, C1-6 alkyl, -L3-C6-12 aryl, -L3-C3-12 cycloalkyl, -L3-OR11, or -L3-N(R11R12); L3 is a bond or C1-4 alkylene; and R11 and R12 are independently H or C1-6 alkyl; including salts, hydrates, solvates, isomers, and prodrugs thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising a therapeutically effective amount of a compound I with one or more pharmaceutically acceptable excipients, optionally containing another active ingredient selected from anti-diabetic agents, hypolipidemic agents, anti-obesity agents, anti-hypertensive agents, etc., as well as to the use of the compns. for the treatment or prevention of disease or disorders associated with PPAR activity. Regioselective bromination of 4-benzyloxyphenol followed by O-silylation, substitution with tetramethyltin, and desilylation gave 4-benzyloxy-2-methylphenol, which underwent substitution of Me 2-bromo-2-methylpropionate, debenzylation, and substitution of 1,2-dibromoethane resulting in the formation of ester II. Heterocyclization of 2-bromo-1-(4-trifluoromethylphenyl)ethanone with thiourea formed aminothiazole III, which underwent substitution of bromide II, N-methylation, and ester hydrolysis to give thiazole IV. The compds. of the invention, e.g., IV, are modulators of PPAR, particularly PPARδ (no data).

ACCESSION NUMBER:	2007:538194 HCAPLUS Full-text
DOCUMENT NUMBER:	146:521786
TITLE:	Oxazoles and thiazoles as PPAR modulators, their preparation, pharmaceutical compositions, and use in therapy
INVENTOR(S):	Epple, Robert; Cow, Christopher; Azimioara, Mihai; Russo, Ross
PATENT ASSIGNEE(S):	IRM LLC, Bermuda
SOURCE:	PCT Int. Appl., 62pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2007056496	A1	20070518	WO 2006-US43586	20061107

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2005-734678P P 20051107
OTHER SOURCE(S): MARPAT 146:521786
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 9 OF 15 HCAPLUS COPYRIGHT 2008 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to oxazoles and thiazoles of formula I, which modulate the activity of the peroxisome proliferator-activated receptor (PPAR) families, particularly the activity of PPARδ. In compds. I, W is N or CH; Y is O, S, CH2CH2, or CR5R6, where R5 and R6 are independently selected from H and C1-6 alkyl; Z is S or O; R1 is -L1-X-C(R7R8)-L2-CO2R9; L1 and L2 are independently a bond or C1-4 alkylene; X is a bond, O, or S; R7 and R8 are independently H, C1-4 alkyl, or C1-4 alkoxy, or R7 and R8, together with the carbon atom to which they are attached, form C3-12 cycloalkyl; R9 is H or C1-6 alkyl; n is 0-3; each R2 is independently selected from halo, C1-4 alkyl, C1-4 alkoxy, C1-4 alkylthio, and C3-12 cycloalkyl; R3 is C1-8 alkyl; and R4 is selected from halo, C1-4 alkyl, C1-4 haloalkyl, and C1-4 haloalkoxy; including salts, hydrates, solvates, isomers, and prodrugs thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising a therapeutically effective amount of a compound I with one or more pharmaceutically acceptable excipients, optionally containing another active ingredient selected from anti-diabetic agents, hypolipidemic agents, anti-obesity agents, anti-hypertensive agents, etc., as well as to the use of the compns. for the treatment or prevention of disease or disorders associated with PPAR activity. Diazotization of 4- (trifluoromethoxy)acetophenone followed by heterocyclization with acetonitrile, and sequential double bromination gave dibromooxazole II. O-Benzylation of 4-hydroxybenzaldehyde, condensation with Et ethoxyacetate, and hydrogenation resulted in the formation of ethoxypropionate III, which underwent substitution of II followed by Suzuki coupling with 2-isopropoxy-5-pyrimidineboronic acid (two-step preparation from 5-bromo-2-chloropyrimidine is given) and ester hydrolysis to give oxazole IV. The compds. of the invention, e.g., IV, are modulators of PPAR, particularly PPARδ (no data).

ACCESSION NUMBER: 2007:536876 HCAPLUS Full-text
DOCUMENT NUMBER: 146:521785
TITLE: Oxazoles and thiazoles as PPAR modulators, their preparation, pharmaceutical compositions, and use in therapy
INVENTOR(S): Epple, Robert; Xie, Yongping; Wang, Xing; Russo, Ross;

Cow, Christopher; Azimioara, Mihai
PATENT ASSIGNEE(S): IRM LLC, Bermuda
SOURCE: PCT Int. Appl., 80pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

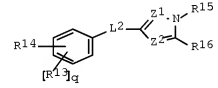
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007056497	A1	20070518	WO 2006-US43587	20061107

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

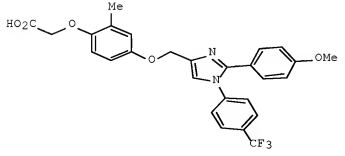
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2005-734592P P 20051107
OTHER SOURCE(S): MARPAT 146:521785
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 10 OF 15 HCAPLUS COPYRIGHT 2008 ACS on STN
GI



I



II

AB The title compds. I [q = 0-3; Z1, Z2 = CH, N; L2 = XOX, XSO0-2X, XSO0-2XO (wherein X = a bond, (un)substituted alkylene); R13 = halo, alkyl, alkoxy, etc.; R14 = XOXC(O)OR17, XC(O)OR17 (X = a bond, alkylene; R17 = H, alkyl);

R15, R16 = R18 or YR18 (Y = alkylene, alkenylene, alkynylene, CONR17, OX; X = a bond, alkylene; R17 = H, alkyl; R18 = cycloalkyl, heterocycloalkyl, aryl, heteroaryl); or R15 and R16 together with the atoms to which R15 and R16 are attached form fused bicyclic or tricyclic heteroaryl], useful in treating or preventing diseases or disorders associated with the activity of the peroxisome proliferator-activated receptor (PPAR) families, particularly the activity of PPARδ (no specific data given), were prepared Thus, reacting Me (4-hydroxy-2-methylphenoxy)acetate with 4-(chloromethyl)-2-(4-methoxyphenyl)-1-(4-trifluoromethylphenyl)-1H- imidazole (prepns. given) followed by hydrolysis afforded 28% II. Also disclosed are pharmaceutical compns. comprising compds. I alone or in combination with other therapeutic agents.

ACCESSION NUMBER: 2006:795736 HCAPLUS Full-text
DOCUMENT NUMBER: 145:230633
TITLE: Preparation of 4-[(benzimidazolyl/pyrazolyl/triazolyl) methoxy]phenoxyacetic acids as PPAR modulators
INVENTOR(S): Cow, Christopher; Epple, Robert; Wang, Xing; Xie, Yongping
PATENT ASSIGNEE(S): Irm LLC, Bermuda
SOURCE: PCT Int. Appl., 62pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006084176	A2	20060810	WO 2006-US3924	20060203
WO 2006084176	A3	20060914		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006210503	A1	20060810	AU 2006-210503	20060203
CA 2595789	A1	20060810	CA 2006-2595789	20060203
EP 1843763	A2	20071017	EP 2006-734339	20060203
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
IN 2007DN05903	A	20070817	IN 2007-DN5903	20070727
KR 2007107097	A	20071106	KR 2007-719990	20070831

PRIORITY APPLN. INFO.: US 2005-649962P P 20050203
WO 2006-US3924 W 20060203
OTHER SOURCE(S): MARPAT 145:230633

L14 ANSWER 11 OF 15 HCAPLUS COPYRIGHT 2008 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to thiazole compds. of formula I, which are modulators of peroxisome proliferator-activated receptors (PPAR), particularly PPARδ. In compds. I, p is 0-3; L is selected from -XOX-, -XS(O)mX-, and -XS(O)mXO-, where m is 0-2 and X is a bond or (un)substituted C1-4 alkylene; R1 is selected from halo, C1-6 alkyl, C1-6 alkoxy, C1-6 hydroxyalkyl, C1-6 haloalkyl, C1-6 haloalkoxy, (un)substituted C6-10 aryl, (un)substituted C5-10 heteroaryl, (un)substituted C3-12 cycloalkyl, and (un)substituted C3-8 heterocyclyl; R2 is -XOXCO2R5 or -XCO2R5, where X is as defined previously and R5 is H or C1-6 alkyl; and R3 and R4 are independently selected from R6 and R6Y, where R6 is (un)substituted C3-12 cycloalkyl, (un)substituted C3-8 heterocyclyl, (un)substituted C6-10 aryl, and (un)substituted C5-13 heteroaryl, and Y is selected from C1-6 alkylene, C2-6 alkenylene, C2-6 alkynylene, -C(O)N(R5)-, and -OX-, where X and R5 are as defined previously, or R3 and R4, together with the atoms to which they are attached, form fused bi- or tricyclic C5-14 heteroaryl; including pharmaceutically acceptable salts, hydrates, solvates, isomers, and prodrugs thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising a therapeutically effective amount of compound I in combination with one or more pharmaceutically acceptable excipients, as well as to the use of the compns. to treat or prevent diseases or disorders associated with PPAR activity. Cyclocondensation of 2-bromo-4'-methoxyacetophenone with thioacetamide followed by bromination, demethylation, and alkylation with iso-Pr iodide gave bromothiazole II, which was brominated and substituted with phenol III (preparation in 3 steps from 4-hydroxy-3-methylacetophenone given) to give thiazole IV. Compound IV underwent Suzuki coupling with 4-(trifluoromethoxy)phenylboronic acid and ester hydrolysis to give thiazole V. Most preferred compds. of the invention express an EC50 value for PPARδ of less than 100 nM. The compds. of the invention are at least 100-fold selective for PPARδ over PPARγ.

ACCESSION NUMBER: 2005:1290025 HCAPLUS Full-text
DOCUMENT NUMBER: 144:36329
TITLE: Thiazole compounds as PPAR modulators, their preparation, pharmaceutical compositions, and use in therapy
INVENTOR(S): Epple, Robert; Cow, Christopher; Xie, Yongping; Wang, Xing; Russo, Ross; Azimioara, Mihai; Saez, Enrique
PATENT ASSIGNEE(S): IRM LLC, Bermuda
SOURCE: PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005116000	A1	20051208	WO 2005-US18167	20050524
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

AU 2005247931	A1	20051208	AU 2005-247931		20050524
CA 2563818	A1	20051208	CA 2005-2563818		20050524
EP 1748993	A1	20070207	EP 2005-754130		20050524
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR					
CN 1980906	A	20070613	CN 2005-80016538		20050524
BR 2005011477	A	20071226	BR 2005-11477		20050524
JP 2008500355	T	20080110	JP 2007-515255		20050524
US 2007203155	A1	20070830	US 2006-597282		20061121
MX 2006PA13591	A	20070315	MX 2006-PA13591		20061123
KR 2007030791	A	20070316	KR 2006-724606		20061123
IN 2006CN04307	A	20070615	IN 2006-CN4307		20061123
NO 2006005984	A	20070205	NO 2006-5984		20061222
PRIORITY APPLN. INFO.:			US 2004-574137P	P	20040524
			US 2005-648985P	P	20050131
			WO 2005-US18167	W	20050524

OTHER SOURCE(S): MARPAT 144:36329
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 12 OF 15 HCAPLUS COPYRIGHT 2008 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to oxazole compds. of formula I, which are modulators of peroxisome proliferator-activated receptors (PPAR), particularly PPARδ. In compds. I, p is 0-3; L is selected from -XOX-, -XS(O)mX-, and -XS(O)mXO-, where m is 0-2 and X is a bond or (un)substituted C1-4 alkylene; R1 is selected from halo, C1-6 alkyl, C1-6 alkoxy, C1-6 hydroxyalkyl, C1-6 haloalkyl, C1-6 haloalkoxy, (un)substituted C6-10 aryl, (un)substituted C5-10 heteroaryl, (un)substituted C3-12 cycloalkyl, and (un)substituted C3-8 heterocyclyl; R2 is -XOXCO2R5 or -XCO2R5, where X is as defined previously and R5 is H or C1-6 alkyl; and R3 and R4 are independently selected from R6 and R6Y, where R6 is (un)substituted C3-12 cycloalkyl, (un)substituted C3-8 heterocyclyl, (un)substituted C6-10 aryl, and (un)substituted C5-13 heteroaryl, and Y is selected from C1-6 alkylene, C2-6 alkenylene, C2-6 alkynylene, -C(O)N(R5)-, and -OX-, where X and R5 are as defined previously, or R3 and R4, together with the atoms to which they are attached, form fused bi- or tricyclic C5-14 heteroaryl; including pharmaceutically acceptable salts, hydrates, solvates, isomers, and prodrugs thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising a therapeutically effective amount of compound I in combination with one or more pharmaceutically acceptable excipients, as well as to the use of the compns. to treat or prevent diseases or disorders associated with PPAR activity. Diazotization of 4-(trifluoromethoxy)acetophenone followed by heterocyclization with acetonitrile, and bromination gave bromooxazole II, which was brominated and substituted with phenol III (preparation in 3 steps from 4-hydroxy-3-methylacetophenone given) to give oxazole IV. Compound IV underwent Suzuki coupling with 2-isopropoxypyridin-5-ylboronic acid (preparation from 2-chloro-5-bromopyridine given) and ester hydrolysis to give oxazole V. Most preferred compds. of the invention express an EC50 value for PPARδ of less than 100 nM. The compds. of the invention are at least 100-fold selective for PPARδ over PPARγ.

ACCESSION NUMBER: 2005:1289979 HCAPLUS Full-text
DOCUMENT NUMBER: 144:36326

TITLE: Oxazole compounds as PPAR modulators, their preparation, pharmaceutical compositions, and use in therapy

INVENTOR(S): Epple, Robert; Xie, Yongping; Wang, Xing; Cow, Christopher; Russo, Ross

PATENT ASSIGNEE(S): IRM LLC, Bermuda

SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005116016	A1	20051208	WO 2005-US18166	20050524
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005247930	A1	20051208	AU 2005-247930	20050524
CA 2563819	A1	20051208	CA 2005-2563819	20050524
EP 1749003	A1	20070207	EP 2005-775612	20050524
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1980919	A	20070613	CN 2005-80016511	20050524
BR 2005011527	A	20080102	BR 2005-11527	20050524
JP 2008500354	T	20080110	JP 2007-515254	20050524
MX 2006PA13589	A	20070315	MX 2006-PA13589	20061123
KR 2007043705	A	20070425	KR 2006-724605	20061123
IN 2006CN04308	A	20070615	IN 2006-CN4308	20061123
NO 2006005983	A	20070205	NO 2006-5983	20061222
US 2007244130	A1	20071018	US 2007-597260	20070705

PRIORITY APPLN. INFO.:

US 2004-574137P	P	20040524
US 2005-649671P	P	20050202
WO 2005-US18166	W	20050524

OTHER SOURCE(S): MARPAT 144:36326

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 13 OF 15 HCAPLUS COPYRIGHT 2008 ACS on STN

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to aryl compds. of formula I, which are modulators of peroxisome proliferator-activated receptors (PPAR), particularly PPARδ. In compds. I, m is 0-3; X, Y, and Z are independently selected from CH and N; L is (un)substituted (CH2)nO(CH2)n or (CH2)nS(O)p(CH2)n, where each n is

independently selected from 0-4 and p is 0-2; R1 and R2 are independently selected from (un)substituted C3-12 cycloalkyl-A-, (un)substituted C3-8 heterocyclyl-A-, (un)substituted C6-10 aryl-A-, and (un)substituted C5-13 heteroaryl-A-, where A is a bond, C1-6 alkylene, C2-6 alkenylene, or C2-6 alkynylene; R3 is selected from halo, C1-6 alkyl, C1-6 alkoxy, C1-6 hydroxyalkyl, C1-6 haloalkyl, C1-6 haloalkoxy, (un)substituted C6-10 aryl, (un)substituted C5-10 heteroaryl, (un)substituted C3-12 cycloalkyl, and (un)substituted C3-8 heterocyclyl; and R4 is selected from (CH2)nO(CH2)nCO2R5 and (CH2)nCO2R5, where n is as defined previously and R5 is H or C1-6 alkyl; including pharmaceutically acceptable salts, hydrates, solvates, isomers, and prodrugs thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising a therapeutically effective amount of compound I in combination with one or more pharmaceutically acceptable excipients, as well as to the use of the compns. to treat or prevent diseases or disorders associated with PPAR activity. Substitution of Me bromoacetate with 4-hydroxy-3- methylacetophenone followed by Baeyer-Villiger oxidation and methanolysis gave phenoxyacetate II, which underwent substitution of 3,5-dibromobenzyl bromide to give dibromobenzyl ether III. Treatment of III with an excess of 4-trifluoromethylphenylboronic acid and ester hydrolysis resulted in the formation of terphenyl IV. Most preferred compds. of the invention express an EC50 value for PPARδ of less than 100 nM. The compds. of the invention are at least 100-fold selective for PPARδ over PPARγ.

ACCESSION NUMBER: 2005:1262399 HCAPLUS Full-text
DOCUMENT NUMBER: 144:22712
TITLE: Triaryl compounds as PPAR modulators, their preparation, pharmaceutical compositions, and use in therapy
INVENTOR(S): Epple, Robert; Azimioara, Mihai
PATENT ASSIGNEE(S): Irm LLC, Bermuda
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005113506	A1	20051201	WO 2005-US16747	20050513
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005245418	A1	20051201	AU 2005-245418	20050513
CA 2564365	A1	20051201	CA 2005-2564365	20050513
EP 1756062	A1	20070228	EP 2005-751010	20050513
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1980894	A	20070613	CN 2005-80019645	20050513
BR 2005010024	A	20070925	BR 2005-10024	20050513
JP 2007537289	T	20071220	JP 2007-513391	20050513
MX 2006PA13195	A	20070214	MX 2006-PA13195	20061113

IN 2006CN04198	A	20070615	IN 2006-CN4198		20061114
US 2007259890	A1	20071108	US 2006-596598		20061114
PRIORITY APPLN. INFO.:			US 2004-571004P	P	20040514
			WO 2005-US16747	W	20050513

OTHER SOURCE(S): MARPAT 144:22712
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 14 OF 15 HCAPLUS COPYRIGHT 2008 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to isoxazole compds. of formula I, which are modulators of peroxisome proliferator-activated receptors (PPAR), particularly PPARδ. In compds. I, R1 is selected from (un)substituted C1-6 alkyl, (un)substituted C3-12 cycloalkyl, (un)substituted C3-8 heterocyclyl, (un)substituted C6-10 aryl, and (un)substituted C5-10 heteroaryl; R2 is selected from (CH2)nO(CH2)nOR5, (CH2)nOR5, CO2R5, C(O)N(R4)2, C(O)N(R4)(CH2)nOR4, CO2(CH2)nOR5, C(O)(CH2)nOR5, C(O)N(R4)(CH2)nOR5, C(O)N(R4)(R5), and C(O)N(R4)(CH2)nR5, where n is 0-4, R4 is H or C1-6 alkyl, and R5 is C1-6 alkyl, C3-12 cycloalkyl, C3-8 heterocyclyl, C6-10 aryl, or C5-10 heteroaryl, or R4 and R5, together with the nitrogen atom to which they are attached, form C3-8 heterocyclyl or C5-10 heteroaryl; and R3 is selected from (un)substituted C3-12 cycloalkyl, (un)substituted C3-8 heterocyclyl, (un)substituted C6-10 aryl, and (un)substituted C5-10 heteroaryl; including pharmaceutically acceptable salts, hydrates, solvates, isomers, and prodrugs thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising a therapeutically effective amount of compound I in combination with one or more pharmaceutically acceptable excipients, as well as to the use of the compns. to treat or prevent diseases or disorders associated with PPAR activity. Esterification of 3-bromophenylacetic acid followed by coupling with cyanide, reduction of the nitrile to an aldehyde, condensation with hydroxylamine, and chlorination gave chlorooxime II. N-Boc-2-bromoethylamine was substituted with 2,4-dichlorophenol followed by deprotection, amidation with Et benzoylacetate to give benzoylacetamide III, which underwent cyclocondensation with chlorooxime II and ester hydrolysis, resulting in the formation of isoxazole IV. Most preferred compds. of the invention express an EC50 value for PPARδ of less than 100 nM. The compds. of the invention are at least 100-fold selective for PPARδ over PPARγ.

ACCESSION NUMBER:	2005:1259663 HCAPLUS Full-text
DOCUMENT NUMBER:	144:22911
TITLE:	Isoxazole compounds as PPAR modulators, their preparation, pharmaceutical compositions, and use in therapy
INVENTOR(S):	Epple, Robert; Russo, Ross; Azimioara, Mihai; Xie, Yongping
PATENT ASSIGNEE(S):	IRM LLC, Bermuda
SOURCE:	PCT Int. Appl., 79 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

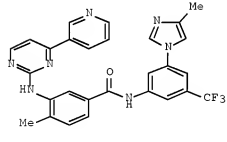
WO 2005113519	A1	20051201	WO 2005-US16672	20050512
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005245411	A1	20051201	AU 2005-245411	20050512
CA 2564429	A1	20051201	CA 2005-2564429	20050512
EP 1745027	A1	20070124	EP 2005-769154	20050512
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1984894	A	20070620	CN 2005-80019652	20050512
JP 2007537286	T	20071220	JP 2007-513366	20050512
BR 2005011099	A	20071226	BR 2005-11099	20050512
MX 2006PA13196	A	20070214	MX 2006-PA13196	20061113
KR 2007034993	A	20070329	KR 2006-723769	20061113
IN 2006CN04201	A	20070622	IN 2006-CN4201	20061114
PRIORITY APPLN. INFO.:			US 2004-571003P P	20040514
			WO 2005-US16672 W	20050512

OTHER SOURCE(S): MARPAT 144:22911

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 15 OF 15 HCAPLUS COPYRIGHT 2008 ACS on STN

GI



I

AB The invention relates to the use of an enzyme inhibitor of formula (I) or a N-Oxide or a pharmaceutically acceptable salt thereof [wherein R1 = H, lower alkyl, lower alkoxy-lower alkyl, acyloxy-lower alkyl, carboxy-lower alkyl, lower alkoxycarbonyl-lower alkyl, phenyl-lower alkyl; R2 = H, each (un)substituted lower alkyl, cycloalkyl, benzocycloalkyl, heterocyclyl, aryl, or a mono- or bicyclic heteroaryl; or wherein R1 and R2 together represent (un)substituted C4-6 alkylene, C4-5 benzalkylene, oxaalkylene with one oxygen and three or four carbon atoms; or azaalkylene with one nitrogen and three or

four carbon atoms wherein nitrogen is optionally substituted; R4 = H, lower alkyl, or halogen] having an activity on protein kinases VEGFR-2, Tie-2, c-Src, c-Met, FGFR-1, Flt-1, HER-2, c-Abl, c-Raf, PDGFR-beta, c-Kit, or on a combination of the above enzymes, for the treatment and/or prevention of neurol. and vascular neurol. disorders related to beta-amyloid generation and/or aggregation such as neurodegenerative diseases like Alzheimer's disease, Down's Syndrome, memory and cognitive impairment, dementia, amyloid neuropathies, brain inflammation, nerve and brain trauma, vascular amyloidosis, or cerebral hemorrhage with amyloidosis. Most preferred compound, 4-methyl-N-[3-(4-methylimidazol-1-yl)-5-trifluoromethylphenyl]-3-[[4- (pyridin-3-yl)pyrimidin-2-yl]amino]benzamide (II), exhibited the following inhibitor activities in cellfree enzyme assays on protein kinases: protein kinase 3.2, Tie-2 4.6, Src 4.6, c-Met 4.7, FGFR-1 6.7, Flt-1 7.7, HER-2 7.2 μM, c-Abl 295 nM, c-Raf-1 1.1, PDGFR-β 5.8, and c-Kit 7.8 μM. The compound I demonstrated a clear reduction of Abeta secretion in the medium of HEK/APPswe cell cultures at concns. below 10 μM, without having any effect on cellular viability.

ACCESSION NUMBER: 2005:395105 HCAPLUS Full-text
DOCUMENT NUMBER: 142:441902
TITLE: Use of pyridinyl-pyrimidinylamino-benzamide derivatives for the treatment of amyloid related disorders
INVENTOR(S): Bilbe, Graeme
PATENT ASSIGNEE(S): Novartis Ag, Switz.; Novartis Pharma GmbH
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005039586	A1	20050506	WO 2004-EP12080	20041026
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2007509106	T	20070412	JP 2006-536068	20041026
US 2007129389	A1	20070607	US 2006-576175	20060419
PRIORITY APPLN. INFO.:			GB 2003-25031 A	20031027
			WO 2004-EP12080 W	20041026

OTHER SOURCE(S): MARPAT 142:441902
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> s l13 and disorder
270388 DISORDER
L15 9 L13 AND DISORDER

=> d l15 1-9

L15 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:1042189 HCAPLUS Full-text
DN 148:82
TI A critical appraisal of conventional and investigational drug therapy in patients with hypereosinophilic syndrome and clonal eosinophilia
AU Kalac, Matko; Quintas-Cardama, Alfonso; Vrhovac, Radovan; Kantarjian, Hagop; Verstovsek, Srdan
CS Dep. Med., Univ. Hospital Merkur, Zagreb, Croatia
SO Cancer (Hoboken, NJ, United States) (2007), 110(5), 955-964
CODEN: CANCAR; ISSN: 0008-543X
PB John Wiley & Sons, Inc.
DT Journal; General Review
LA English
RE.CNT 111 THERE ARE 111 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 2 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:874433 HCAPLUS Full-text
DN 147:227169
TI Use of aminopyrimidine compounds in the treatment of immune disorders
IN Bluestone, Jeffrey A.; Weiss, Arthur
PA The Regents of the University of California, USA
SO PCT Int. Appl., 57pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007089716	A2	20070809	WO 2007-US2423	20070129
	WO 2007089716	A3	20080117		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
PRAI	US 2006-764492P	P	20060201		

OS MARPAT 147:227169

L15 ANSWER 3 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:565028 HCAPLUS Full-text
DN 146:514741
TI Methods of identifying and treating individuals exhibiting MDR-1 overexpression with protein tyrosine kinase inhibitors and combinations thereof
IN Lee, Francis Y.
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 51pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007059143	A2	20070524	WO 2006-US44214	20061114
	WO 2007059143	A3	20070913		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
PRAI	US 2005-736671P	P	20051115		
	US 2006-838455P	P	20060817		

L15 ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:538389 HCAPLUS Full-text
DN 146:521831
TI Preparation of six membered heteroaromatic, particularly pyrimidine and triazine, inhibitors targeting resistant kinase mutations for treating angiogenic and hematological associated disorders
IN Cao, Jianguo; Hood, John; Lohse, Dan; Mak, Chi Ching; Mc Pherson, Andrew; Noronha, Glenn; Pathak, Ved; Renick, Joel; Soll, Richard M.; Zeng, Binqi; Chow, Chun; Palanki, Moorthy; Dneprovskaia, Elena
PA Targegen, Inc., USA
SO PCT Int. Appl., 389pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007056075	A2	20070518	WO 2006-US42838	20061031
	WO 2007056075	A3	20070920		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
	US 2007149508	A1	20070628	US 2006-591076	20061031
	US 2007161645	A1	20070712	US 2006-591252	20061031
PRAI	US 2005-733115P	P	20051102		
OS	MARPAT 146:521831				

L15 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:538388 HCAPLUS Full-text
DN 146:521787
TI Thiazoles as inhibitors targeting resistant and kinase mutations and their preparation and use in the treatment of angiogenic-associated or hematological disorders

IN Cao, Jianguo; Hood, John; Lohse, Dan; Mak, Chi Ching; Mc Pherson, Andrew; Noronha, Glenn; Pathak, Ved; Renick, Joel; Soll, Richard M.; Zeng, Binqi; Chow, Chun; Palanki, Moorthy; Dneprovskaia, Elena
PA Targegen, Inc., USA
SO PCT Int. Appl., 93pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007056023	A2	20070518	WO 2006-US42697	20061031
	WO 2007056023	A3	20071018		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
	US 2007149508	A1	20070628	US 2006-591076	20061031
	US 2007161645	A1	20070712	US 2006-591252	20061031
PRAI	US 2005-733115P	P	20051102		
OS	MARPAT 146:521787				

L15 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:1229087 HCAPLUS Full-text
DN 145:500120
TI Use of tyrosine kinase inhibitors in the treatment of metabolic disorders
IN Porter, Jeffrey; Hughes, Thomas Edward
PA Novartis AG, Switz.; Novartis Pharma GmbH
SO PCT Int. Appl., 25pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006124544	A2	20061123	WO 2006-US18342	20060511
	WO 2006124544	A3	20070907		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
PRAI	US 2005-680714P	P	20050513		
OS	MARPAT 145:500120				

L15 ANSWER 7 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:1111678 HCAPLUS Full-text
DN 146:176363
TI Activity of AMN107, a novel aminopyrimidine tyrosine kinase inhibitor, against human FIP1L1-PDGFR-α-expressing cells
AU Verstovsek, Srdan; Giles, Francis J.; Quintas-Cardama, Alfonso; Manshouri, Taghi; Huynh, Ly; Manley, Paul; Cortes, Jorge; Tefferi, Ayalew; Kantarjian, Hagop
CS Department of Leukemia, The University of Texas M.D. Anderson Cancer Center, Houston, TX, USA
SO Leukemia Research (2006), 30(12), 1499-1505
CODEN: LEREDD; ISSN: 0145-2126
PB Elsevier Ltd.
DT Journal
LA English
RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 8 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:364868 HCAPLUS Full-text
DN 144:382039
TI Combination of a DPP-IV inhibitor and a PDGF kinase inhibitor
IN Burkey, Bryan; Hughes, Thomas Edward
PA Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
SO PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006041976	A1	20060420	WO 2005-US35917	20051006
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	AU 2005294320	A1	20060420	AU 2005-294320	20051006
	CA 2580266	A1	20060420	CA 2005-2580266	20051006
	EP 1802308	A1	20070704	EP 2005-801149	20051006
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
	CN 101035536	A	20070912	CN 2005-80033958	20051006
	IN 2007DN02034	A	20070817	IN 2007-DN2034	20070315
	MX 200704021	A	20070524	MX 2007-4021	20070403
	KR 2007099527	A	20071009	KR 2007-707859	20070406
PRAI	US 2004-617201P	P	20041008		
	WO 2005-US35917	W	20051006		

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 9 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:395105 HCAPLUS Full-text

DN 142:441902
TI Use of pyridinyl-pyrimidinylamino-benzamide derivatives for the treatment of amyloid related disorders
IN Bilbe, Graeme
PA Novartis Ag, Switz.; Novartis Pharma GmbH
SO PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005039586	A1	20050506	WO 2004-EP12080	20041026
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2007509106	T	20070412	JP 2006-536068	20041026
US 2007129389	A1	20070607	US 2006-576175	20060419
PRAI GB 2003-25031	A	20031027		
WO 2004-EP12080	W	20041026		

OS MARPAT 142:441902
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> ?
The arrow (=>) is the system prompt, where you enter a command. For an explanation of system commands, files, formats, etc., enter "HELP" and the name of the item you want explained at an arrow prompt (=>). Enter "HELP COMMANDS" for a list of commands that can be used in this file. Enter "HELP MESSAGES" for a list of online explanations that are available. The "?" can be used as a synonym for "HELP".

Help is also available at any prompt, and after any error message. Enter "HELP" or "?" at a prompt to see an explanation of the options. After an error message, enter "HELP" or "?" at the next prompt and you will receive a more detailed explanation of the error and how to correct it.

Automatic help is also available. When AUHELP is 'ON', you will automatically receive help following an error message. For more information on AUHELP, enter "HELP SET AUHELP" at an arrow prompt (=>).

Users who need additional assistance can contact the Help Desk at their nearest STN Service Center. Enter "HELP STN" for information on STN Service Centers. You may also choose to contact the database representative for the file you are searching, for more detailed help on database content and search strategy. For information on how to contact database representatives for the current file, enter "HELP DESK" at an arrow prompt (=>).

```
=> d l13 and PY<=2003
'AND' IS NOT A VALID FORMAT FOR FILE 'HCAPLUS'

The following are valid formats:

ABS --------- GI and AB
ALL --------- BIB, AB, IND, RE
APPS -------- AI, PRAI
BIB --------- AN, plus Bibliographic Data and PI table (default)
CAN --------- List of CA abstract numbers without answer numbers
CBIB -------- AN, plus Compressed Bibliographic Data
CLASS ------- IPC, NCL, ECLA, FTERM
DALL -------- ALL, delimited (end of each field identified)
DMAX -------- MAX, delimited for post-processing
FAM --------- AN, PI and PRAI in table, plus Patent Family data
FBIB -------- AN, BIB, plus Patent FAM
IND --------- Indexing data
IPC --------- International Patent Classifications
MAX --------- ALL, plus Patent FAM, RE
PATS -------- PI, SO
SAM --------- CC, SX, TI, ST, IT
SCAN -------- CC, SX, TI, ST, IT (random display, no answer numbers;
              SCAN must be entered on the same line as the DISPLAY,
              e.g., D SCAN or DISPLAY SCAN)
STD --------- BIB, CLASS

IABS -------- ABS, indented with text labels
IALL -------- ALL, indented with text labels
IBIB -------- BIB, indented with text labels
IMAX -------- MAX, indented with text labels
ISTD -------- STD, indented with text labels

OBIB -------- AN, plus Bibliographic Data (original)
OIBIB ------- OBIB, indented with text labels

SBIB -------- BIB, no citations
SIBIB ------- IBIB, no citations

HIT --------- Fields containing hit terms
HITIND ------ IC, ICA, ICI, NCL, CC and index field (ST and IT)
              containing hit terms
HITRN ------- HIT RN and its text modification
HITSTR ------ HIT RN, its text modification, its CA index name, and
              its structure diagram
HITSEQ ------ HIT RN, its text modification, its CA index name, its
              structure diagram, plus NTE and SEQ fields
FHITSTR ----- First HIT RN, its text modification, its CA index name, and
              its structure diagram
FHITSEQ ----- First HIT RN, its text modification, its CA index name, its
              structure diagram, plus NTE and SEQ fields
KWIC -------- Hit term plus 20 words on either side
OCC --------- Number of occurrence of hit term and field in which it occurs

To display a particular field or fields, enter the display field
codes.  For a list of the display field codes, enter HELP DFIELDS at
an arrow prompt (=>).  Examples of formats include: TI; TI,AU; BIB,ST;
TI,IND; TI,SO.  You may specify the format fields in any order and the
information will be displayed in the same order as the format
specification.
```

```
All of the formats (except for SAM, SCAN, HIT, HITIND, HITRN, HITSTR,
FHITSTR, HITSEQ, FHITSEQ, KWIC, and OCC) may be used with DISPLAY ACC
to view a specified Accession Number.
ENTER DISPLAY FORMAT (BIB):
UNITS CONVERSION IS NOT AVAILABLE IN THE CURRENT FILE

=> s l13 and PY<=2003
     23979508 PY<=2003
L16           0 L13 AND PY<=2003

=> d l13 and PY<=2004
'AND' IS NOT A VALID FORMAT FOR FILE 'HCAPLUS'

The following are valid formats:

ABS --------- GI and AB
ALL --------- BIB, AB, IND, RE
APPS -------- AI, PRAI
BIB --------- AN, plus Bibliographic Data and PI table (default)
CAN --------- List of CA abstract numbers without answer numbers
CBIB -------- AN, plus Compressed Bibliographic Data
CLASS ------- IPC, NCL, ECLA, FTERM
DALL -------- ALL, delimited (end of each field identified)
DMAX -------- MAX, delimited for post-processing
FAM --------- AN, PI and PRAI in table, plus Patent Family data
FBIB -------- AN, BIB, plus Patent FAM
IND --------- Indexing data
IPC --------- International Patent Classifications
MAX --------- ALL, plus Patent FAM, RE
PATS -------- PI, SO
SAM --------- CC, SX, TI, ST, IT
SCAN -------- CC, SX, TI, ST, IT (random display, no answer numbers;
              SCAN must be entered on the same line as the DISPLAY,
              e.g., D SCAN or DISPLAY SCAN)
STD --------- BIB, CLASS

IABS -------- ABS, indented with text labels
IALL -------- ALL, indented with text labels
IBIB -------- BIB, indented with text labels
IMAX -------- MAX, indented with text labels
ISTD -------- STD, indented with text labels

OBIB -------- AN, plus Bibliographic Data (original)
OIBIB ------- OBIB, indented with text labels

SBIB -------- BIB, no citations
SIBIB ------- IBIB, no citations

HIT --------- Fields containing hit terms
HITIND ------ IC, ICA, ICI, NCL, CC and index field (ST and IT)
              containing hit terms
HITRN ------- HIT RN and its text modification
HITSTR ------ HIT RN, its text modification, its CA index name, and
              its structure diagram
HITSEQ ------ HIT RN, its text modification, its CA index name, its
              structure diagram, plus NTE and SEQ fields
FHITSTR ----- First HIT RN, its text modification, its CA index name, and
              its structure diagram
FHITSEQ ----- First HIT RN, its text modification, its CA index name, its
              structure diagram, plus NTE and SEQ fields
```

KWIC -------- Hit term plus 20 words on either side
OCC --------- Number of occurrence of hit term and field in which it occurs

To display a particular field or fields, enter the display field codes. For a list of the display field codes, enter HELP DFIELDS at an arrow prompt (=>). Examples of formats include: TI; TI,AU; BIB,ST; TI,IND; TI,SO. You may specify the format fields in any order and the information will be displayed in the same order as the format specification.

All of the formats (except for SAM, SCAN, HIT, HITIND, HITRN, HITSTR, FHITSTR, HITSEQ, FHITSEQ, KWIC, and OCC) may be used with DISPLAY ACC to view a specified Accession Number.
ENTER DISPLAY FORMAT (BIB):
UNITS CONVERSION IS NOT AVAILABLE IN THE CURRENT FILE

```
=> s l13 and PY<=2004
      25082224 PY<=2004
L17           1 L13 AND PY<=2004

=> d l17 ibib abs
```

L17 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	2004:41463 HCAPLUS Full-text
DOCUMENT NUMBER:	140:77161
TITLE:	Preparation of pyrimidinylaminobenzamides as inhibitors of protein kinases, in particular tyrosine kinases for treating neoplasm, especially leukemia
INVENTOR(S):	Breitenstein, Werner; Furet, Pascal; Jacob, Sandra; Manley, Paul William
PATENT ASSIGNEE(S):	Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
SOURCE:	PCT Int. Appl., 83 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004005281	A1	20040115	WO 2003-EP7198	20030704 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SY, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
CA 2491632	A1	20040115	CA 2003-2491632	20030704 <--
AU 2003249962	A1	20040123	AU 2003-249962	20030704 <--
BR 2003012464	A	20050503	BR 2003-12464	20030704
EP 1532138	A1	20050525	EP 2003-762632	20030704
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1675195	A	20050928	CN 2003-818728	20030704
JP 2005533827	T	20051110	JP 2004-518718	20030704
NZ 537396	A	20061130	NZ 2003-537396	20030704
CN 101045727	A	20071003	CN 2007-10107748	20030704

ZA 2004010322	A	20060726	ZA 2004-10322		20041222
IN 2004CN03003	A	20060217	IN 2004-CN3003		20041231
MX 2005PA00328	A	20050331	MX 2005-PA328		20050105
NO 2005000636	A	20050204	NO 2005-636		20050204
US 2006167015	A1	20060727	US 2005-520359		20050912
US 7169791	B2	20070130			
US 2007093506	A1	20070426	US 2006-607542		20061201
JP 2008044968	A	20080228	JP 2007-283773		20071031
PRIORITY APPLN. INFO.:			GB 2002-15676	A	20020705
			GB 2002-29893	A	20021220
			CN 2003-818728	A3	20030704
			JP 2004-518718	A3	20030704
			WO 2003-EP7198	W	20030704
			US 2005-520359	A1	20050912

OTHER SOURCE(S): MARPAT 140:77161
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein R1 = H, alkoxy/carboxy/alkoxycarbonyl/phenyl/alky l; R2 = H, (un)substituted cyclo/benzcyclo/alkyl, heterocyclyl, aryl, mono- or bicyclic heteroaryl; R1R2 = (un)substituted alkylene with 4-6 C atoms, benzalkylene with 4 or 5 C atoms, oxaalkylene with one O and 3 or 4 C atoms, azaalkylene with one N and 3 or 4 C atoms where N is (un)substituted by phenyl/alkoxycarbonyl/carboxy/carbamoyl/alkyl, alkoxycarbonyl, carboxy, (un)substituted Ph, pyridyl, pyrimidinyl, pyrazinyl, etc.; R4 = H, alkyl, halo; their N-oxides, tautomers, and pharmaceutical acceptable salts] were prepared as inhibitors of protein kinases, in particular tyrosine kinases for treating neoplastic diseases, especially leukemia. II was prepared by amidation of 4-Methyl-3-[[4-(3-pyridinyl)- 2-pyrimidinyl]amino]benzoic acid (preparation given) with N,N-diethyl-1,3- benzenediamine in the presence of propylphosphonic anhydride/TEA/DMF at room temperature for 24 h. In an in vitro test, II inhibited C-Abl, KDR, and Flt3 tyrosine kinase in 98%, 88%, and 41% resp. I exhibited IC50 values for the inhibition of Flt-1 VEGF receptor tyrosine kinase in the range of 1-10,000 nM, preferably in the range of 1-100 nM. Thus, I and their pharmaceutical compns. are useful for treatment of neoplasm, in particular leukemia.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 07:57:09 ON 07 MAR 2008)

FILE 'REGISTRY' ENTERED AT 07:57:24 ON 07 MAR 2008
L1 STRUCTURE UPLOADED
L2 STRUCTURE UPLOADED
L3 0 S L2
L4 16 S L2 FULL

FILE 'REGISTRY' ENTERED AT 07:58:44 ON 07 MAR 2008
L5 0 S L2
L6 16 S L2 FULL

FILE 'HCAPLUS' ENTERED AT 08:01:21 ON 07 MAR 2008
L7 184 S L6

```
L8             0 S L7 AND ALZHEIMERS
L9            15 S L7 AND ALZHEIMER

     FILE 'REGISTRY' ENTERED AT 08:08:14 ON 07 MAR 2008
L10               STRUCTURE UPLOADED
L11               STRUCTURE UPLOADED
L12           119 S L11 FULL

     FILE 'HCAPLUS' ENTERED AT 08:09:56 ON 07 MAR 2008
L13           185 S L12
L14            15 S L13 AND ALZHEIMER
L15             9 S L13 AND DISORDER
L16             0 S L13 AND PY<=2003
L17             1 S L13 AND PY<=2004

=> d cost
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CONNECT CHARGES                                         42.08      74.76
NETWORK CHARGES                                          0.96       2.22
SEARCH CHARGES                                           0.00     533.70
DISPLAY CHARGES                                         57.45     133.10
                                                       -------    -------
FULL ESTIMATED COST                                    100.49     743.78

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                    -12.80     -24.80

IN FILE 'HCAPLUS' AT 08:19:16 ON 07 MAR 2008


=>
```